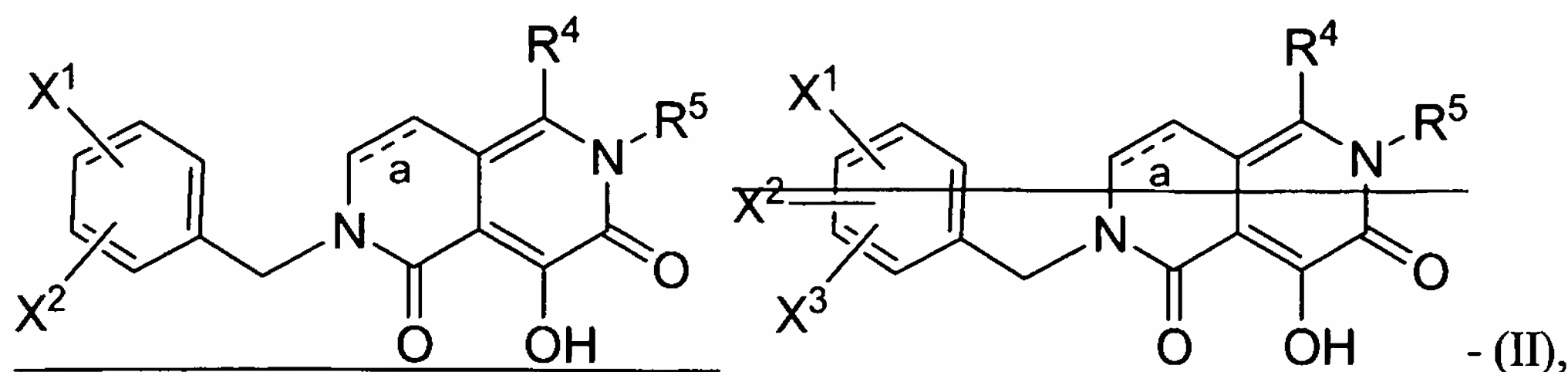


IN THE CLAIMS

The listing of the claims which follows replaces any and all prior versions and/or listings of the claims in the application.

1. (currently amended) A compound according to claim 20, which is a compound of Formula II, or a pharmaceutically acceptable salt thereof:



wherein:

~~bond "a" in the ring is a single bond or a double bond;~~

X<sup>1</sup> and X<sup>2</sup> are each independently:

- (1) -H,
- (2) -C<sub>1-6</sub> alkyl,
- (3) —OH
- (3) (4) -O-C<sub>1-6</sub> alkyl,
- (4) (5) -C<sub>1-6</sub> haloalkyl,
- (5) (6) -O-C<sub>1-6</sub> haloalkyl,
- (6) (7) halogen,
- (7) (8) -CN,
- (8) (9) -N(R<sup>a</sup>)R<sup>b</sup>,
- (9) (10) -C(=O)N(R<sup>a</sup>)R<sup>b</sup>,
- (10) (11) -SR<sup>a</sup>,
- (11) (12) -S(O)R<sup>a</sup>,
- (12) (13) -SO<sub>2</sub>R<sup>a</sup>,
- (13) (14) -N(R<sup>a</sup>)SO<sub>2</sub>R<sup>b</sup>,
- (14) (15) -N(R<sup>a</sup>)SO<sub>2</sub>N(R<sup>a</sup>)R<sup>b</sup>,
- (15) (16) -N(R<sup>a</sup>)C(=O)R<sup>b</sup>,
- (16) (17) -N(R<sup>a</sup>)C(=O)-C(=O)N(R<sup>a</sup>)R<sup>b</sup>,
- (17) (18) -HetA,
- (18) (19) -C(=O)-HetA, or
- (19) (20) HetB;

wherein each HetA is independently a C<sub>4-5</sub> azacycloalkyl or a C<sub>3-4</sub> diazacycloalkyl, either of which is optionally substituted with 1 or 2 substituents each of which is independently oxo or C<sub>1-6</sub> alkyl; and with the proviso that when HetA is attached to the rest of the compound via the -C(=O)- moiety, the HetA is attached to the -C(=O)- via a ring N atom; and

each HetB is independently a 5- or 6-membered heteroaromatic ring containing from 1 to 4 heteroatoms independently selected from N, O and S, wherein the heteroaromatic ring is optionally substituted with from 1 to 4 substituents each of which is independently halogen, -C<sub>1-6</sub> alkyl, -C<sub>1-6</sub> haloalkyl, -O-C<sub>1-6</sub> alkyl, -O-C<sub>1-6</sub> haloalkyl, or hydroxy;

~~or alternatively X<sup>1</sup> and X<sup>2</sup> are respectively located on adjacent carbons in the phenyl ring and together form methylenedioxy or ethylenedioxy;~~

X<sup>3</sup> is:

- (1) —H,
- (2) —C<sub>1-6</sub> alkyl,
- (3) —O-C<sub>1-6</sub> alkyl,
- (4) —C<sub>1-6</sub> haloalkyl,
- (5) —O-C<sub>1-6</sub> haloalkyl, or
- (6) —halogen;

R<sup>4</sup> is:

- (1) —C<sub>1-6</sub> alkyl,
- (2) —CO<sub>2</sub>R<sup>a</sup>,
- (2) (3) -C(=O)N(R<sup>a</sup>)R<sup>b</sup>,
- (3) (4) -C(=O)-N(R<sup>a</sup>)-(CH<sub>2</sub>)<sub>2-3</sub>-OR<sup>b</sup>,
- (4) (5) -N(R<sup>a</sup>)C(=O)R<sup>b</sup>,
- (5) (6) -N(R<sup>a</sup>)SO<sub>2</sub>R<sup>b</sup>,
- (7) —C<sub>3-6</sub> cycloalkyl, which is optionally substituted with from 1 to 4 substituents each of which is independently halogen, C<sub>1-6</sub> alkyl, CF<sub>3</sub>, -O-C<sub>1-6</sub> alkyl, or -OCF<sub>3</sub>,
- (6) (8) -HetK,
- (7) (9) -C(=O)-HetK,
- (10) —C(=O)N(R<sup>a</sup>)HetK,

- (11) ~~C(=O)N(R<sup>a</sup>)-(CH<sub>2</sub>)<sub>0-2</sub>-(C<sub>3-6</sub> cycloalkyl), wherein the cycloalkyl is optionally substituted with from 1 to 4 substituents each of which is independently halogen, -C<sub>1-6</sub> alkyl, -CF<sub>3</sub>, -O-C<sub>1-6</sub> alkyl, or -OCF<sub>3</sub>, or~~
- (8) -C(=O)N(R<sup>a</sup>)-(CH<sub>2</sub>)<sub>0-1</sub>-(C<sub>3-6</sub> cycloalkyl), wherein the cycloalkyl is optionally substituted with from 1 to 4 substituents each of which is independently halogen, -C<sub>1-6</sub> alkyl, -CF<sub>3</sub>, -O-C<sub>1-6</sub> alkyl, or -OCF<sub>3</sub>, or
- (9) (12) -C(=O)N(R<sup>a</sup>)-CH<sub>2</sub>-phenyl, wherein the phenyl is optionally substituted with from 1 to 4 substituents each of which is independently -C<sub>1-6</sub> alkyl, -O-C<sub>1-6</sub> alkyl, -CF<sub>3</sub>, -OCF<sub>3</sub>, or halogen;
- (13) ~~HetL,~~
- (14) ~~C(=O)N(R<sup>a</sup>)R<sup>c</sup>, or~~
- (15) ~~halogen;~~

wherein HetK is a 5- or 6-membered saturated heterocyclic ring containing a total of from 1 to 4 heteroatoms independently selected from 1 to 4 N atoms, from 0 to 2 O atoms, and from 0 to 2 S atoms, wherein the heterocyclic ring is optionally substituted with ~~(i)~~ from 1 to 4 substituents each of which is independently -C<sub>1-6</sub> alkyl, or oxo; exo, halogen, -C(=O)N(R<sup>a</sup>)R<sup>b</sup>, -C(=O)C(=O)N(R<sup>a</sup>)R<sup>b</sup>, -C(=O)R<sup>a</sup>, CO<sub>2</sub>R<sup>a</sup>, SO<sub>2</sub>R<sup>a</sup>, or SO<sub>2</sub>N(R<sup>a</sup>)R<sup>b</sup> and (ii) from zero to 1 C<sub>3-6</sub> cycloalkyl; and with the proviso that when HetK is attached to the rest of the compound via the -C(=O)- moiety, the HetK is attached to the -C(=O)- via a ring N atom;

~~wherein HetL is a 5- or 6-membered heteroaromatic ring containing from 1 to 4 heteroatoms independently selected from N, O and S, wherein the heteroaromatic ring is optionally substituted with from 1 to 4 substituents each of which is independently -C<sub>1-6</sub> alkyl or -OH;~~

R<sup>5</sup> is:

- (1) -H,
- (2) -C<sub>1-6</sub> alkyl,
- (3) -C<sub>3-6</sub> cycloalkyl,
- (4) -(CH<sub>2</sub>)<sub>1-2</sub>-C<sub>3-6</sub> cycloalkyl, or
- (5) -CH<sub>2</sub>-phenyl; wherein the phenyl is optionally substituted with from 1 to 4 substituents each of which is independently halogen, -C<sub>1-6</sub> alkyl, -C<sub>1-6</sub> haloalkyl, -O-C<sub>1-6</sub> alkyl, or -O-C<sub>1-6</sub> haloalkyl;
- (6) ~~-(CH<sub>2</sub>)<sub>1-2</sub>-HetD, wherein HetD is a 4 to 7 membered saturated heterocyclic ring containing from 1 to 2 heteroatoms independently selected from 1 to 2 N atoms;~~

- ~~from zero to 1 O atom and from zero to 1 S atom, wherein the heterocyclic ring is attached to the rest of the molecule via a ring N atom, and the heterocyclic ring is optionally substituted with from 1 to 4 substituents each of which is independently C<sub>1-6</sub> alkyl, C<sub>1-6</sub> haloalkyl, O-C<sub>1-6</sub> alkyl, O-C<sub>1-6</sub> haloalkyl, oxo, C(=O)N(R<sup>a</sup>)R<sup>b</sup>, C(=O)R<sup>a</sup>, CO<sub>2</sub>R<sup>a</sup>, SO<sub>2</sub>R<sup>a</sup>, or SO<sub>2</sub>N(R<sup>a</sup>)R<sup>b</sup>,~~
- (7) ~~phenyl which is optionally substituted with from 1 to 4 substituents each of which is independently C<sub>1-6</sub> alkyl, O-C<sub>1-6</sub> alkyl, C<sub>1-6</sub> haloalkyl, O-C<sub>1-6</sub> haloalkyl, OH, halogen, CN, NO<sub>2</sub>, C(=O)R<sup>a</sup>, CO<sub>2</sub>R<sup>a</sup>, SO<sub>2</sub>R<sup>a</sup>, N(R<sup>a</sup>)C(=O)-C<sub>1-6</sub> haloalkyl, N(R<sup>a</sup>)C(=O)R<sup>b</sup>, N(R<sup>a</sup>)C(=O)N(R<sup>a</sup>)R<sup>b</sup>, N(R<sup>a</sup>)CO<sub>2</sub>R<sup>b</sup>, N(R<sup>a</sup>)SO<sub>2</sub>R<sup>b</sup>, C(=O)N(R<sup>d</sup>)R<sup>e</sup>, or SO<sub>2</sub>N(R<sup>d</sup>)R<sup>e</sup>;~~
- (8) ~~a 5 or 6 membered heteroaromatic ring containing from 1 to 4 heteroatoms independently selected from N, O and S, wherein the heteroaromatic ring is optionally substituted with from 1 to 4 substituents each of which is independently C<sub>1-6</sub> alkyl, C<sub>1-6</sub> haloalkyl, O-C<sub>1-6</sub> alkyl, O-C<sub>1-6</sub> haloalkyl, or OH,~~
- (9) ~~C<sub>1-6</sub> alkyl substituted with O-C<sub>1-6</sub> alkyl, CN, N(R<sup>a</sup>)R<sup>b</sup>, C(=O)N(R<sup>a</sup>)R<sup>b</sup>, C(=O)R<sup>a</sup>, CO<sub>2</sub>R<sup>a</sup>, SO<sub>2</sub>R<sup>a</sup>, or SO<sub>2</sub>N(R<sup>a</sup>)R<sup>b</sup>, or~~
- (10) ~~C<sub>1-6</sub> haloalkyl;~~

each R<sup>a</sup> is independently H or C<sub>1-6</sub> alkyl; and

each R<sup>b</sup> is independently H or C<sub>1-6</sub> alkyl; ;

R<sup>e</sup> is C<sub>1-6</sub> haloalkyl or C<sub>1-6</sub> alkyl substituted with CO<sub>2</sub>R<sup>a</sup>, SO<sub>2</sub>R<sup>a</sup>, SO<sub>2</sub>N(R<sup>a</sup>)R<sup>b</sup>, or N(R<sup>a</sup>)R<sup>b</sup>; and

~~each R<sup>d</sup> and R<sup>e</sup> are independently H or C<sub>1-6</sub> alkyl, or together with the N atom to which they are attached form a 4 to 7 membered saturated heterocyclic ring optionally containing a heteroatom in addition to the nitrogen attached to R<sup>d</sup> and R<sup>e</sup> selected from N, O, and S, wherein the S is optionally oxidized to S(O) or S(O)<sub>2</sub>, and wherein the saturated heterocyclic ring is optionally substituted with from 1 to 4 substituents each of which is independently halogen, CN, C<sub>1-6</sub> alkyl, OH, oxo, O-C<sub>1-6</sub> alkyl, C<sub>1-6</sub> haloalkyl, C(=O)R<sup>a</sup>, CO<sub>2</sub>R<sup>a</sup>, SO<sub>2</sub>R<sup>a</sup>, or SO<sub>2</sub>N(R<sup>a</sup>)R<sup>b</sup>.~~

2. (currently amended) The compound according to claim 1, or a pharmaceutically acceptable salt thereof, wherein:

bond "<sup>a</sup>" in the ring is a single bond;

X<sup>1</sup> and X<sup>2</sup> are each independently:

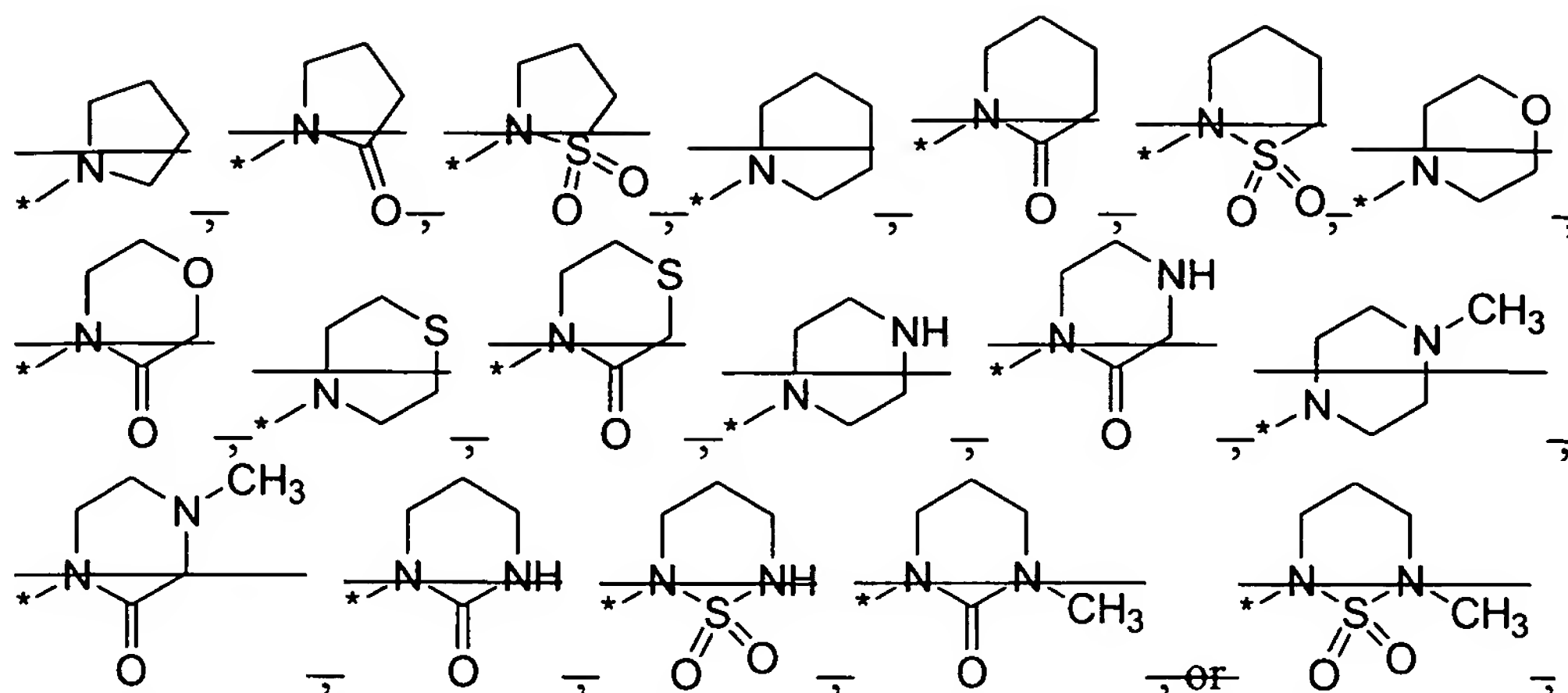
- (1) -H,
- (2) -C<sub>1-4</sub> alkyl,
- (3) -C<sub>1-4</sub> haloalkyl,
- (4) -OH,
- ~~(5) -O-C<sub>1-4</sub> alkyl,~~
- ~~(5) (6)~~ halogen,
- ~~(6) (7)~~ -CN,
- ~~(7) (8)~~ -C(=O)NH<sub>2</sub>,
- ~~(8) (9)~~ -C(=O)NH(-C<sub>1-4</sub> alkyl),
- ~~(9) (10)~~ -C(=O)N(-C<sub>1-4</sub> alkyl)<sub>2</sub>, or
- ~~(10) (11)~~ -SO<sub>2</sub>-C<sub>1-4</sub> alkyl;

~~or alternatively X<sup>1</sup> and X<sup>2</sup> are respectively located on adjacent carbons in the phenyl ring and together form methylenedioxy or ethylenedioxy;~~

~~X<sup>3</sup> is H, halogen, C<sub>1-4</sub> alkyl, or O-C<sub>1-4</sub> alkyl;~~

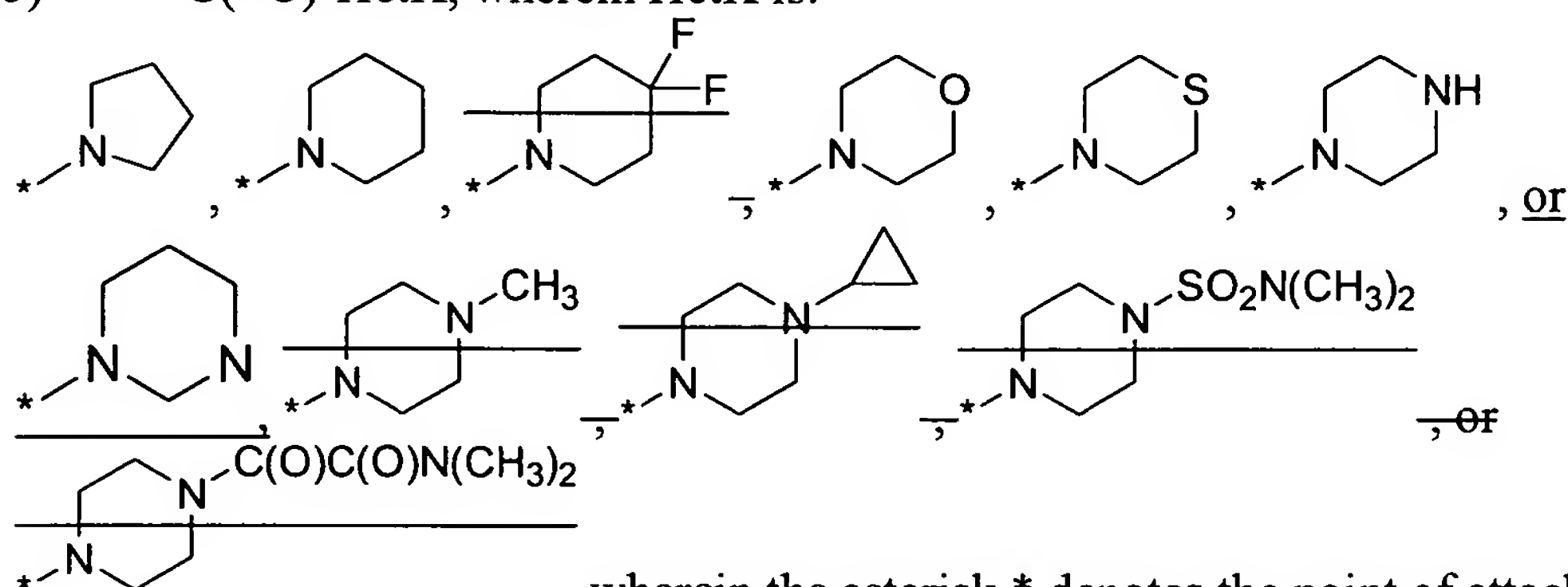
R<sup>4</sup> is:

- (1) ~~-C<sub>1-4</sub> alkyl,~~
- ~~(2) -CO<sub>2</sub>H,~~
- ~~(2) (3)~~ -C(=O)-O-C<sub>1-4</sub> alkyl,
- ~~(3) (4)~~ -C(=O)NH<sub>2</sub>,
- ~~(4) -C(=O)NH-C<sub>1-4</sub> alkyl,~~
- ~~(5) -C(=O)NH-C<sub>1-5</sub> alkyl,~~
- ~~(5) (6)~~ -C(=O)N(C<sub>1-4</sub> alkyl)<sub>2</sub>,
- ~~(6) (7)~~ -C(=O)-NH-(CH<sub>2</sub>)<sub>2-3</sub>-O-C<sub>1-4</sub> alkyl,
- ~~(7) (8)~~ -C(=O)-N(C<sub>1-4</sub> alkyl)-(CH<sub>2</sub>)<sub>2-3</sub>-O-C<sub>1-4</sub> alkyl,
- ~~(8) (9)~~ -NHC(=O)-C<sub>1-4</sub> alkyl,
- ~~(9) (10)~~ -N(C<sub>1-4</sub> alkyl)C(=O)-C<sub>1-4</sub> alkyl,
- ~~(10) (11)~~ -NH-SO<sub>2</sub>-C<sub>1-4</sub> alkyl,
- ~~(11) (12)~~ -N(C<sub>1-4</sub> alkyl)SO<sub>2</sub>-C<sub>1-4</sub> alkyl,
- ~~(13) -C<sub>3-6</sub> cycloalkyl,~~
- ~~(14) -HetK wherein HetK is:~~



wherein the asterisk \* denotes the point of attachment to the rest of the compound,

(12) (15)  $-C(=O)-\text{HetK}$ , wherein HetK is:



wherein the asterisk \* denotes the point of attachment to the rest of the compound,

(16)  $-C(=O)NH-\text{HetK}$  or  $-C(=O)N(\text{C}_{1-4}\text{ alkyl})-\text{HetK}$ , wherein HetK is a saturated heterocyclic selected from the group consisting of pyrrolidinyl, piperidinyl, piperazinyl, morpholinyl, and thiomorpholinyl, wherein the saturated heterocyclic is optionally substituted with from 1 to 2 substituents each of which is independently  $\text{C}_{1-4}\text{ alkyl}$ ,  $\text{SO}_2-\text{C}_{1-4}\text{ alkyl}$ , or  $\text{SO}_2\text{N}(\text{C}_{1-4}\text{ alkyl})_2$ ;

(13) (17)  $-C(=O)NH-(\text{CH}_2)_{0-1}-(\text{C}_{3-6}\text{ cycloalkyl})$ ,

(14) (18)  $-C(=O)N(\text{C}_{1-4}\text{ alkyl})-(\text{CH}_2)_{0-1}-(\text{C}_{3-6}\text{ cycloalkyl})$ ,

(15) (19)  $-C(=O)NH-\text{CH}_2\text{-phenyl}$ , or wherein the phenyl is optionally substituted with 1 or 2 substituents each of which is independently halogen,  $\text{C}_{1-4}\text{ alkyl}$ ,  $\text{CF}_3$ ,  $\text{O}-\text{C}_{1-4}\text{ alkyl}$ , or  $\text{OCF}_3$ ;

(16) (20)  $-C(=O)N(\text{C}_{1-4}\text{ alkyl})-\text{CH}_2\text{-phenyl}$ ; and , wherein the phenyl is optionally substituted with 1 or 2 substituents each of which is independently halogen,  $\text{C}_{1-4}\text{ alkyl}$ ,  $\text{CF}_3$ ,  $\text{O}-\text{C}_{1-4}\text{ alkyl}$ , or  $\text{OCF}_3$ ;

(21)  $\text{HetL}$ , wherein HetL is a heteroaromatic ring which is pyrrolyl, thienyl, furanyl, imidazolyl, oxazolyl, thiazolyl, isoxazolyl, isothiazolyl, pyrazolyl, triazolyl, tetrazolyl, oxadiazolyl, pyridinyl, pyrimidinyl, or pyrazinyl, wherein the



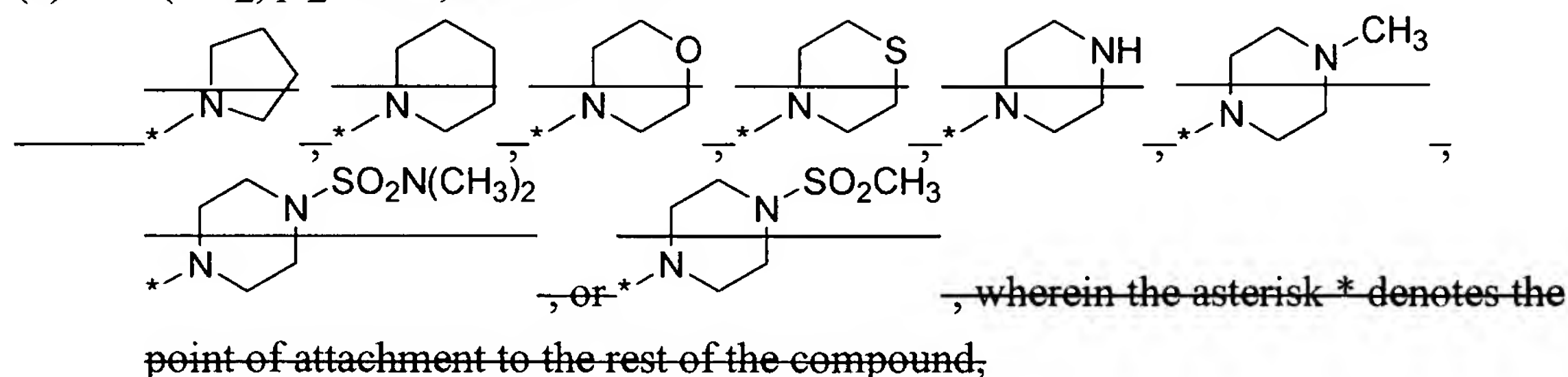
~~heteroaromatic ring is optionally substituted with from 1 to 4 substituents each of which is independently halogen or C<sub>1-4</sub> alkyl,~~

- (22) ~~C(O)N(H)C<sub>1-4</sub> haloalkyl,~~  
 (23) ~~C(O)N(C<sub>1-4</sub> alkyl)C<sub>1-4</sub> haloalkyl,~~  
 (24) ~~C(O)N(H)(CH<sub>2</sub>)<sub>1-2</sub>SO<sub>2</sub>C<sub>1-4</sub> alkyl,~~  
 (25) ~~C(O)N(C<sub>1-4</sub> alkyl)(CH<sub>2</sub>)<sub>1-2</sub>SO<sub>2</sub>C<sub>1-4</sub> alkyl,~~  
 (26) ~~C(O)N(H)(CH<sub>2</sub>)<sub>1-2</sub>N(C<sub>1-4</sub> alkyl)<sub>2</sub>,~~  
 (27) ~~C(O)N(C<sub>1-4</sub> alkyl)(CH<sub>2</sub>)<sub>1-2</sub>N(C<sub>1-4</sub> alkyl)<sub>2</sub>, or~~  
 (28) ~~Cl or Br; and~~

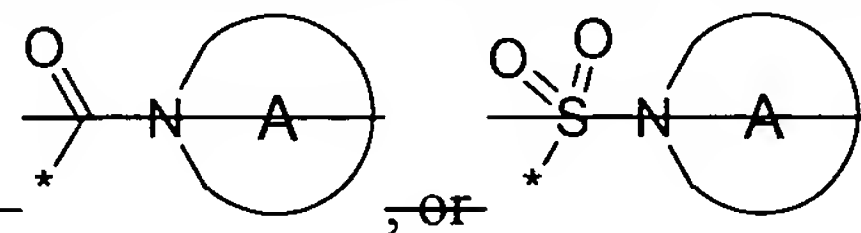
R<sup>5</sup> is:

- (1) -H,  
 (2) -C<sub>1-4</sub> alkyl,  
 (3) -C<sub>3-6</sub> cycloalkyl,  
 (4) -CH<sub>2</sub>-C<sub>3-6</sub> cycloalkyl, or  
 (5) -CH<sub>2</sub>-phenyl.  
 (5) ~~CH<sub>2</sub>-phenyl, wherein the phenyl is optionally substituted with from 1 to 3 substituents each of which is independently halogen, C<sub>1-4</sub> alkyl, CF<sub>3</sub>, O-C<sub>1-4</sub> alkyl, or OCF<sub>3</sub>;~~

- (6) ~~(CH<sub>2</sub>)<sub>1-2</sub> HetD, wherein HetD is:~~

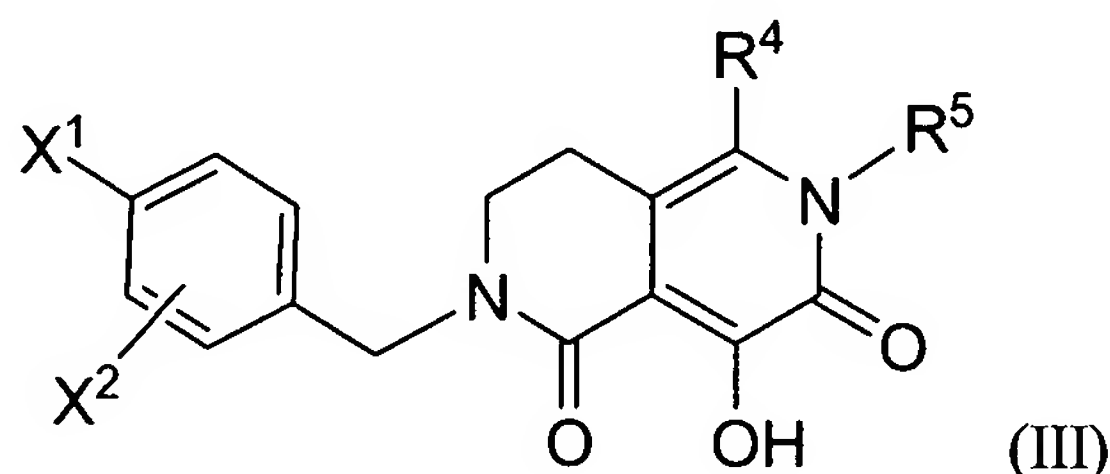


- (7) ~~phenyl which is optionally substituted with C<sub>1-4</sub> alkyl, O-C<sub>1-4</sub> alkyl, CF<sub>3</sub>, OCF<sub>3</sub>, halogen, CN, NO<sub>2</sub>, C(=O)C<sub>1-4</sub> alkyl, C(=O)O-C<sub>1-4</sub> alkyl, C(O)NH<sub>2</sub>, C(O)N(H)C<sub>1-4</sub> alkyl, C(O)N(C<sub>1-4</sub> alkyl)<sub>2</sub>, SO<sub>2</sub>C<sub>1-4</sub> alkyl, SO<sub>2</sub>NH<sub>2</sub>, SO<sub>2</sub>N(H)C<sub>1-4</sub> alkyl, SO<sub>2</sub>N(C<sub>1-4</sub> alkyl)<sub>2</sub>, N(H)C(=O)C<sub>1-4</sub> alkyl, N(C<sub>1-4</sub> alkyl)C(=O)C<sub>1-4</sub> alkyl, N(H)C(=O)CF<sub>3</sub>, N(C<sub>1-4</sub> alkyl)C(=O)CF<sub>3</sub>, N(H)C(=O)N(H)C<sub>1-4</sub> alkyl, N(C<sub>1-4</sub> alkyl)C(=O)N(H)C<sub>1-4</sub> alkyl, N(H)C(=O)N(C<sub>1-4</sub> alkyl)<sub>2</sub>, N(C<sub>1-4</sub> alkyl)C(=O)N(C<sub>1-4</sub> alkyl)<sub>2</sub>, N(H)C(=O)O-C<sub>1-4</sub> alkyl, N(C<sub>1-4</sub> alkyl)C(=O)O-C<sub>1-4</sub> alkyl, N(H)SO<sub>2</sub>C<sub>1-4</sub> alkyl, N(C<sub>1-4</sub> alkyl)SO<sub>2</sub>C<sub>1-4</sub> alkyl,~~



- ~~\_\_\_\_\_ wherein ring A is pyrrolidinyl, piperidinyl, morpholinyl, thiomorpholinyl, or piperazinyl optionally substituted on the other ring nitrogen with methyl or SO<sub>2</sub>-CH<sub>3</sub>,~~
- ~~(8) a 5 or 6 membered heteroaromatic ring which is pyrrolyl, thienyl, furanyl, imidazolyl, oxazolyl, thiazolyl, isoxazolyl, isothiazolyl, pyrazolyl, triazolyl, tetrazolyl, pyridinyl, pyrimidinyl, or pyrazinyl, wherein the heteroaromatic ring is optionally substituted with from 1 to 2 substituents each of which is independently halogen or C<sub>1-4</sub> alkyl,~~
- ~~(9) C<sub>1-4</sub> alkyl substituted with O-C<sub>1-4</sub> alkyl, CN, NH<sub>2</sub>, N(H)-C<sub>1-4</sub> alkyl, N(C<sub>1-4</sub> alkyl)<sub>2</sub>, C(O)NH<sub>2</sub>, C(O)N(H)-C<sub>1-4</sub> alkyl, C(O)N(C<sub>1-4</sub> alkyl)<sub>2</sub>, C(=O)-C<sub>1-4</sub> alkyl, C(=O)-O-C<sub>1-4</sub> alkyl, SO<sub>2</sub>-C<sub>1-4</sub> alkyl, SO<sub>2</sub>NH<sub>2</sub>, SO<sub>2</sub>N(H)-C<sub>1-4</sub> alkyl, or SO<sub>2</sub>N(C<sub>1-4</sub> alkyl)<sub>2</sub>, or~~
- ~~(10) C<sub>1-4</sub> fluoroalkyl.~~

3. (currently amended) The compound according to claim 1, or a pharmaceutically acceptable salt thereof, which is a compound of Formula III:



wherein:

X<sup>1</sup> is:

- (1) -H,
- (2) bromo,
- (3) chloro,
- (4) fluoro, or
- (5) methoxy;

X<sup>2</sup> is:

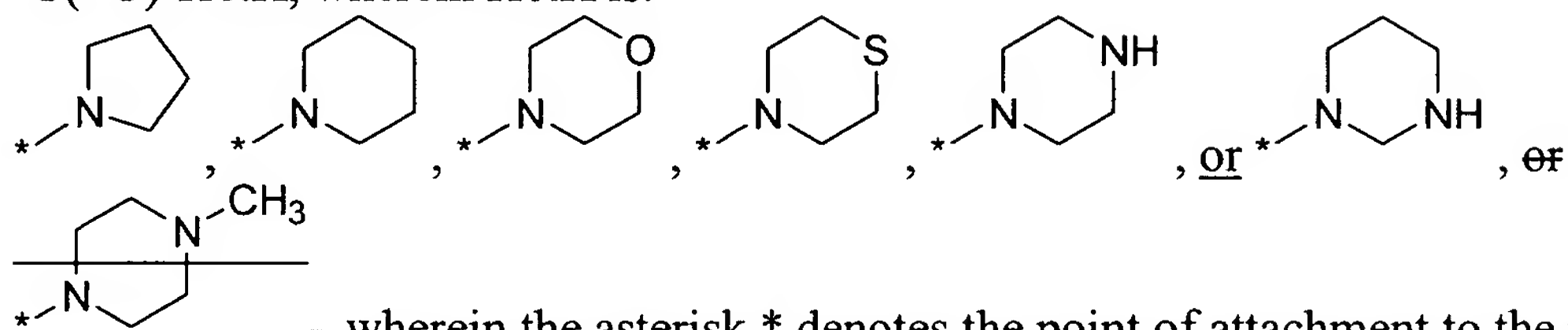
- (1) -H,
- (2) bromo,
- (3) chloro,
- (4) fluoro,



- (5) methoxy,
- (6) -C<sub>1-4</sub> alkyl,
- (7) -CF<sub>3</sub>,
- (8) -OCF<sub>3</sub>,
- (9) -CN, or
- (10) -SO<sub>2</sub>(C<sub>1-4</sub> alkyl);

R<sup>4</sup> is:

- (1) -CO<sub>2</sub>H,
- (2) -C(=O)-O-C<sub>1-4</sub> alkyl,
- (3) -C(=O)NH<sub>2</sub>,
- (4) -C(=O)NH-C<sub>1-4</sub> alkyl,
- (5) -C(=O)N(C<sub>1-4</sub> alkyl)<sub>2</sub>,
- (6) -C(=O)-NH-(CH<sub>2</sub>)<sub>2-3</sub>-O-C<sub>1-4</sub> alkyl,
- (7) -C(=O)-N(C<sub>1-4</sub> alkyl)-(CH<sub>2</sub>)<sub>2-3</sub>-O-C<sub>1-4</sub> alkyl,
- (8) -NHC(=O)-C<sub>1-4</sub> alkyl,
- (9) -N(C<sub>1-4</sub> alkyl)C(=O)-C<sub>1-4</sub> alkyl,
- (10) -NHSO<sub>2</sub>-C<sub>1-4</sub> alkyl,
- (11) -N(C<sub>1-4</sub> alkyl)SO<sub>2</sub>-C<sub>1-4</sub> alkyl,
- (12) -C(=O)-HetK, wherein HetK is:



-, wherein the asterisk \* denotes the point of attachment to the rest of the compound,

- (13) -C(=O)NH-(CH<sub>2</sub>)<sub>0-1</sub>-(C<sub>3-6</sub> cycloalkyl),
- (14) -C(=O)N(C<sub>1-4</sub> alkyl)-(CH<sub>2</sub>)<sub>0-1</sub>-(C<sub>3-6</sub> cycloalkyl),
- (15) -C(=O)NH-CH<sub>2</sub>-phenyl, or
- (16) -C(=O)N(C<sub>1-4</sub> alkyl)-CH<sub>2</sub>-phenyl; and

R<sup>5</sup> is:

- (1) -H,
- (2) -C<sub>1-4</sub> alkyl,
- (3) cyclopropyl,
- (4) cyclobutyl,

- (5) -CH<sub>2</sub>-cyclopropyl,
- (6) -CH<sub>2</sub>-cyclobutyl, or
- (7) -CH<sub>2</sub>-phenyl.

4. (canceled).

5. (canceled)

6. (canceled)

7. (canceled)

8. (canceled)

9. (previously presented) A pharmaceutical composition comprising an effective amount of a compound according to claim 20, or a pharmaceutically acceptable salt thereof, and a pharmaceutically acceptable carrier.

10. (previously presented) A method of inhibiting HIV integrase in a subject in need thereof which comprises administering to the subject an effective amount of the compound according to claim 20, or a pharmaceutically acceptable salt thereof.

11. (previously presented) A method for preventing or treating infection by HIV or for preventing, treating or delaying the onset of AIDS in a subject in need thereof which comprises administering to the subject an effective amount of the compound according to claim 20, or a pharmaceutically acceptable salt thereof.

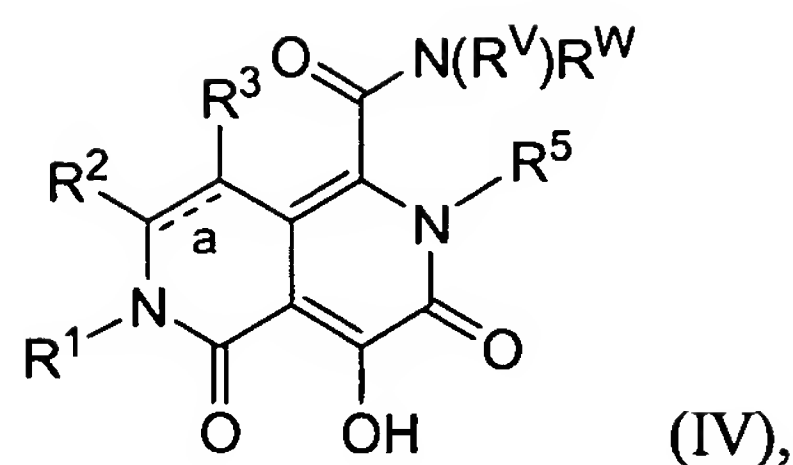
12. (canceled)

13. (canceled)

14. (canceled)

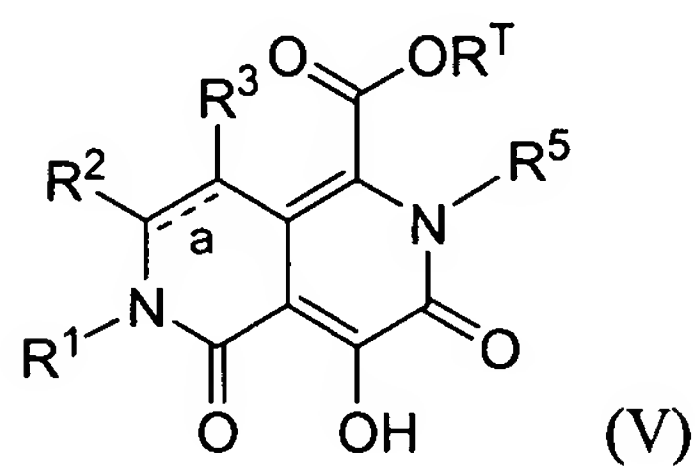
15. (canceled)

16. (original) A process for preparing a compound of Formula IV:



which comprises:

(B) contacting a compound of Formula V:



with a Grignard salt of an amine of Formula VI:



to obtain Compound IV; wherein:

bond "  $\overset{\text{a}}{\text{---}}$  " in the ring is a single bond or a double bond;

$\text{R}^1$  is -C<sub>1-6</sub> alkyl substituted with  $\text{R}^{\text{J}}$ , wherein  $\text{R}^{\text{J}}$  is:

- (A) aryl or aryl fused to a 5- or 6-membered heteroaromatic ring containing from 1 to 4 heteroatoms independently selected from N, O and S, wherein the aryl or fused aryl is:
- (a) optionally substituted with from 1 to 5 substituents each of which is independently:
- (1) -C<sub>1-6</sub> alkyl,
  - (2) -C<sub>1-6</sub> alkyl substituted with -O-C<sub>1-6</sub> alkyl, -O-C<sub>1-6</sub> haloalkyl, -NO<sub>2</sub>, -N(R<sup>a</sup>)R<sup>b</sup>, or -S(O)<sub>n</sub>R<sup>a</sup>,
  - (3) -C<sub>1-6</sub> haloalkyl,
  - (4) -O-C<sub>1-6</sub> alkyl,
  - (5) halogen,
  - (6) C(=O)N(R<sup>a</sup>)R<sup>b</sup>, or
  - (7) -SO<sub>2</sub>R<sup>a</sup>, and

(b) optionally substituted with 1 or 2 substituents each of which is independently:

- (1) phenyl,
- (2) benzyl, or
- (3) -HetB;

wherein each HetB is a 5- or 6-membered heteroaromatic ring containing from 1 to 4 heteroatoms independently selected from N, O and S, wherein the heteroaromatic ring is optionally substituted with from 1 to 4 substituents each of which is independently halogen, -C<sub>1-6</sub> alkyl, -C<sub>1-6</sub> haloalkyl, -O-C<sub>1-6</sub> alkyl, or -O-C<sub>1-6</sub> haloalkyl; or

(B) a 5- or 6-membered heteroaromatic ring containing from 1 to 4 heteroatoms independently selected from N, O and S; wherein the heteroaromatic ring is

- (i) optionally substituted with from 1 to 4 substituents each of which is independently halogen, -C<sub>1-6</sub> alkyl, -C<sub>1-6</sub> haloalkyl, -O-C<sub>1-6</sub> alkyl, or -O-C<sub>1-6</sub> haloalkyl, and
- (ii) optionally substituted with 1 or 2 substituents each of which is independently aryl or -C<sub>1-6</sub> alkyl substituted with aryl;

R<sup>2</sup> and R<sup>3</sup> are each independently -H or -C<sub>1-6</sub> alkyl;

R<sup>5</sup> is:

- (1) -C<sub>1-6</sub> alkyl,
- (2) -C<sub>3-8</sub> cycloalkyl optionally substituted with from 1 to 4 substituents each of which is independently -C<sub>1-6</sub> alkyl or -O-C<sub>1-6</sub> alkyl,
- (3) -C<sub>1-6</sub> alkyl substituted with C<sub>3-8</sub> cycloalkyl, wherein the cycloalkyl is optionally substituted with from 1 to 4 substituents each of which is independently -C<sub>1-6</sub> alkyl or -O-C<sub>1-6</sub> alkyl,
- (4) -C<sub>1-6</sub> alkyl substituted with aryl, wherein the aryl is optionally substituted with from 1 to 5 substituents each of which is independently -C<sub>1-6</sub> alkyl, -C<sub>1-6</sub> alkylene-O-C<sub>1-6</sub> alkyl, or halogen, or
- (5) -C<sub>1-6</sub> alkyl substituted with a 5- or 6-membered heteroaromatic ring containing from 1 to 4 heteroatoms independently selected from N, O and S, wherein the heteroaromatic ring is optionally substituted with from 1 to 4 substituents each of which is independently -C<sub>1-6</sub> alkyl;

R<sup>T</sup> is -C<sub>1-6</sub> alkyl;

R<sup>V</sup> and R<sup>W</sup> are each independently -C<sub>1-6</sub> alkyl or R<sup>V</sup> and R<sup>W</sup> together with the N atom to which they are both attached form a 4- to 6-membered saturated heterocyclic ring optionally containing a heteroatom in addition to the nitrogen attached to R<sup>V</sup> and R<sup>W</sup> selected from N, O, and S, where the S is optionally oxidized to S(O) or S(O)<sub>2</sub>, and wherein the saturated heterocyclic ring is optionally substituted with 1 or 2 substituents each of which is independently a C<sub>1-6</sub> alkyl group;

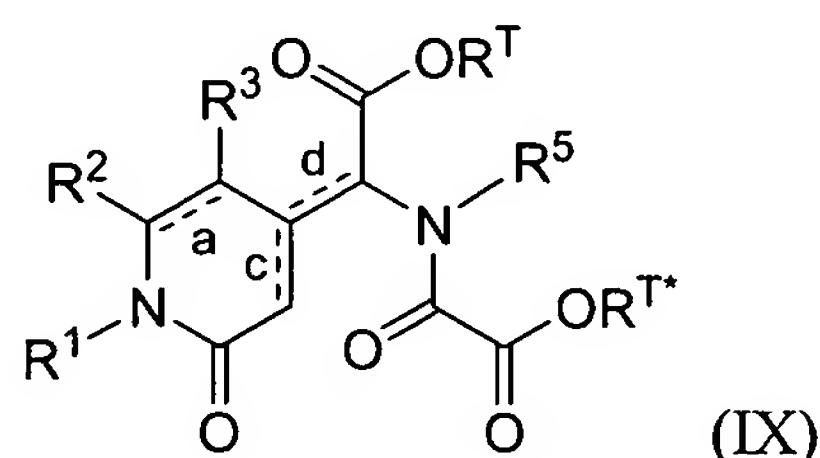
each aryl is independently phenyl, naphthyl, or indenyl;

each R<sup>a</sup> is independently H or C<sub>1-6</sub> alkyl; and

each R<sup>b</sup> is independently H or C<sub>1-6</sub> alkyl.

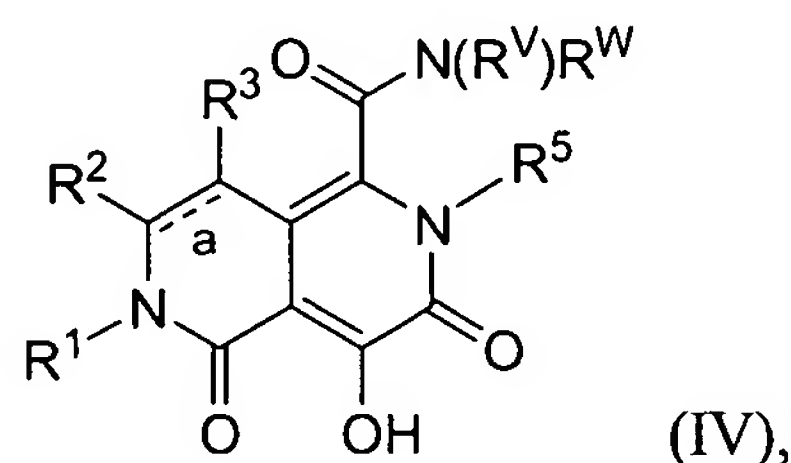
17. (original) The process according to claim 16, wherein the process further comprises:

(A) treating a compound of Formula IX:

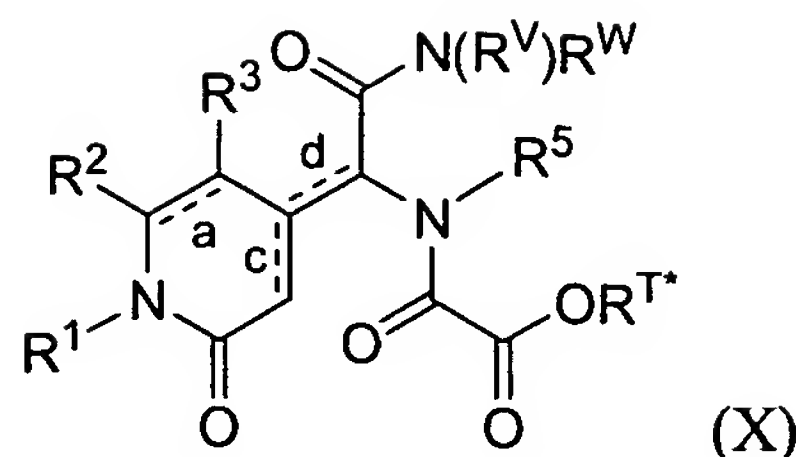


with (i) a tertiary amine base in the presence of a lithium salt or (ii) an alkoxide base, to obtain a compound of Formula V; wherein one of bonds "<sup>c</sup>" and "<sup>d</sup>" is a single bond and the other is a double bond; and R<sup>T\*</sup> is C<sub>1-6</sub> alkyl.

18. (original) A process for preparing a compound of Formula IV:



which comprises treating a compound of Formula X:



with (i) a tertiary amine base in the presence of a lithium salt or (ii) an alkoxide base, to obtain a compound of Formula IV, wherein:

bond "  $\overset{a}{\text{---}}$  " in the ring is a single bond or a double bond;

R<sup>1</sup> is -C<sub>1-6</sub> alkyl substituted with R<sup>J</sup>, wherein R<sup>J</sup> is:

(A) aryl or aryl fused to a 5- or 6-membered heteroaromatic ring containing from 1 to 4 heteroatoms independently selected from N, O and S, wherein the aryl or fused aryl is:

- (a) optionally substituted with from 1 to 5 substituents each of which is independently:
  - (1) -C<sub>1-6</sub> alkyl,
  - (2) -C<sub>1-6</sub> alkyl substituted with -O-C<sub>1-6</sub> alkyl, -O-C<sub>1-6</sub> haloalkyl, -NO<sub>2</sub>, -N(R<sup>a</sup>)R<sup>b</sup>, or -S(O)<sub>n</sub>R<sup>a</sup>,
  - (3) -C<sub>1-6</sub> haloalkyl,
  - (4) -O-C<sub>1-6</sub> alkyl,
  - (5) halogen,
  - (6) C(=O)N(R<sup>a</sup>)R<sup>b</sup>, or
  - (7) -SO<sub>2</sub>R<sup>a</sup>, and
- (b) optionally substituted with 1 or 2 substituents each of which is independently:
  - (1) phenyl,
  - (2) benzyl, or
  - (3) -HetB;

wherein each HetB is a 5- or 6-membered heteroaromatic ring containing from 1 to 4 heteroatoms independently selected from N, O and S, wherein the heteroaromatic ring is optionally substituted with from 1 to 4 substituents each of which is independently halogen, -C<sub>1-6</sub> alkyl, -C<sub>1-6</sub> haloalkyl, -O-C<sub>1-6</sub> alkyl, or -O-C<sub>1-6</sub> haloalkyl; or



- (B) a 5- or 6-membered heteroaromatic ring containing from 1 to 4 heteroatoms independently selected from N, O and S; wherein the heteroaromatic ring is
- (i) optionally substituted with from 1 to 4 substituents each of which is independently halogen, -C<sub>1-6</sub> alkyl, -C<sub>1-6</sub> haloalkyl, -O-C<sub>1-6</sub> alkyl, or -O-C<sub>1-6</sub> haloalkyl, and
  - (ii) optionally substituted with 1 or 2 substituents each of which is independently aryl or -C<sub>1-6</sub> alkyl substituted with aryl;

R<sup>2</sup> and R<sup>3</sup> are each independently -H or -C<sub>1-6</sub> alkyl;

R<sup>5</sup> is:

- (1) -C<sub>1-6</sub> alkyl,
- (2) -C<sub>3-8</sub> cycloalkyl optionally substituted with from 1 to 4 substituents each of which is independently -C<sub>1-6</sub> alkyl or -O-C<sub>1-6</sub> alkyl,
- (3) -C<sub>1-6</sub> alkyl substituted with C<sub>3-8</sub> cycloalkyl, wherein the cycloalkyl is optionally substituted with from 1 to 4 substituents each of which is independently -C<sub>1-6</sub> alkyl or -O-C<sub>1-6</sub> alkyl,
- (4) -C<sub>1-6</sub> alkyl substituted with aryl, wherein the aryl is optionally substituted with from 1 to 5 substituents each of which is independently -C<sub>1-6</sub> alkyl, -C<sub>1-6</sub> alkylene-O-C<sub>1-6</sub> alkyl, or halogen, or
- (5) -C<sub>1-6</sub> alkyl substituted with a 5- or 6-membered heteroaromatic ring containing from 1 to 4 heteroatoms independently selected from N, O and S, wherein the heteroaromatic ring is optionally substituted with from 1 to 4 substituents each of which is independently -C<sub>1-6</sub> alkyl;

R<sup>V</sup> and R<sup>W</sup> are each independently -C<sub>1-6</sub> alkyl or R<sup>V</sup> and R<sup>W</sup> together with the N atom to which they are both attached form a 4- to 6-membered saturated heterocyclic ring optionally containing a heteroatom in addition to the nitrogen attached to R<sup>V</sup> and R<sup>W</sup> selected from N, O, and S, where the S is optionally oxidized to S(O) or S(O)<sub>2</sub>, and wherein the saturated heterocyclic ring is optionally substituted with 1 or 2 substituents each of which is independently a C<sub>1-6</sub> alkyl group;

each aryl is independently phenyl, naphthyl, or indenyl;

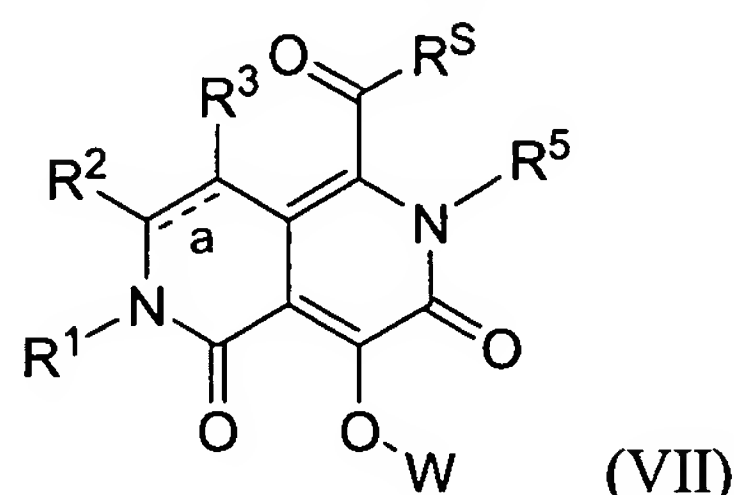
each R<sup>a</sup> is independently H or C<sub>1-6</sub> alkyl;

each R<sup>b</sup> is independently H or C<sub>1-6</sub> alkyl;

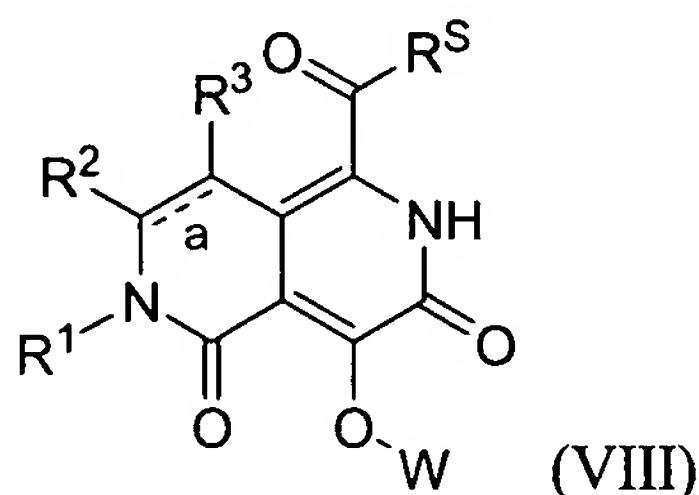
one of bonds " $\overset{c}{\text{---}}$ " and " $\overset{d}{\text{---}}$ " is a single bond and the other is a double bond; and

R<sup>T\*</sup> is C<sub>1-6</sub> alkyl.

19. (original) A process for preparing a compound of Formula VII:



which comprises reacting an alkylating agent of formula R<sup>S</sup>-Z with a compound of Formula VIII:



in a polar aprotic solvent and in the presence of a base selected from a magnesium base and a calcium base; wherein:

bond " $\overset{a}{\text{---}}$ " in the ring is a single bond or a double bond;

W is -H or -C<sub>1-6</sub> alkyl;

Z is halogen or -SO<sub>3</sub>-Q wherein Q is (i) C<sub>1-6</sub> alkyl or (ii) phenyl optionally substituted with 1 or 2 substituents each of which is independently a C<sub>1-6</sub> alkyl;

R<sup>S</sup> is -O-C<sub>1-6</sub> alkyl or N(R<sup>V</sup>)R<sup>W</sup> wherein R<sup>V</sup> and R<sup>W</sup> are each independently -C<sub>1-6</sub> alkyl or R<sup>V</sup> and R<sup>W</sup> together with the N atom to which they are both attached form a 4- to 6-membered saturated heterocyclic ring optionally containing a heteroatom in addition to the nitrogen attached to R<sup>V</sup> and R<sup>W</sup> selected from N, O, and S, where the S is optionally oxidized to S(O) or S(O)<sub>2</sub>,

and wherein the saturated heterocyclic ring is optionally substituted with 1 or 2 substituents each of which is independently a C<sub>1-6</sub> alkyl group;

R<sup>1</sup> is -C<sub>1-6</sub> alkyl substituted with R<sup>J</sup>, wherein R<sup>J</sup> is:

- (A) aryl or aryl fused to a 5- or 6-membered heteroaromatic ring containing from 1 to 4 heteroatoms independently selected from N, O and S, wherein the aryl or fused aryl is:
- (a) optionally substituted with from 1 to 5 substituents each of which is independently:
- (1) -C<sub>1-6</sub> alkyl optionally substituted with -OH, -O-C<sub>1-6</sub> alkyl, -O-C<sub>1-6</sub> haloalkyl, -CN, -NO<sub>2</sub>, -N(R<sup>a</sup>)R<sup>b</sup>, -C(=O)N(R<sup>a</sup>)R<sup>b</sup>, -C(=O)R<sup>a</sup>, -CO<sub>2</sub>R<sup>a</sup>, -S(O)<sub>n</sub>R<sup>a</sup>, -SO<sub>2</sub>N(R<sup>a</sup>)R<sup>b</sup>, -N(R<sup>a</sup>)C(=O)R<sup>b</sup>, -N(R<sup>a</sup>)CO<sub>2</sub>R<sup>b</sup>, -N(R<sup>a</sup>)SO<sub>2</sub>R<sup>b</sup>, -N(R<sup>a</sup>)SO<sub>2</sub>N(R<sup>a</sup>)R<sup>b</sup>, -OC(=O)N(R<sup>a</sup>)R<sup>b</sup>, or -N(R<sup>a</sup>)C(=O)N(R<sup>a</sup>)R<sup>b</sup>,
  - (2) -O-C<sub>1-6</sub> alkyl,
  - (3) -C<sub>1-6</sub> haloalkyl,
  - (4) -O-C<sub>1-6</sub> haloalkyl,
  - (5) -OH,
  - (6) halogen,
  - (7) -CN,
  - (8) -NO<sub>2</sub>,
  - (9) -N(R<sup>a</sup>)R<sup>b</sup>,
  - (10) -C(=O)N(R<sup>a</sup>)R<sup>b</sup>,
  - (11) -C(=O)R<sup>a</sup>,
  - (12) -CO<sub>2</sub>R<sup>a</sup>,
  - (13) -SR<sup>a</sup>,
  - (14) -S(=O)R<sup>a</sup>,
  - (15) -SO<sub>2</sub>R<sup>a</sup>,
  - (16) -SO<sub>2</sub>N(R<sup>a</sup>)R<sup>b</sup>,
  - (17) -N(R<sup>a</sup>)SO<sub>2</sub>R<sup>b</sup>,
  - (18) -N(R<sup>a</sup>)SO<sub>2</sub>N(R<sup>a</sup>)R<sup>b</sup>,
  - (19) -N(R<sup>a</sup>)C(=O)R<sup>b</sup>,
  - (20) -N(R<sup>a</sup>)C(=O)-C(=O)N(R<sup>a</sup>)R<sup>b</sup>, or
  - (21) -N(R<sup>a</sup>)CO<sub>2</sub>R<sup>b</sup>, and
- (b) optionally substituted with 1 or 2 substituents each of which is independently:

- (1) phenyl,
- (2) benzyl,
- (3) -HetA,
- (4) -C(=O)-HetA, or
- (5) -HetB;

wherein each HetA is independently a C<sub>4-7</sub> azacycloalkyl or a C<sub>3-6</sub> diazacycloalkyl, either of which is optionally substituted with from 1 to 4 substituents each of which is independently oxo or C<sub>1-6</sub> alkyl; and

wherein each HetB is a 5- or 6-membered heteroaromatic ring containing from 1 to 4 heteroatoms independently selected from N, O and S, wherein the heteroaromatic ring is optionally substituted with from 1 to 4 substituents each of which is independently halogen, -C<sub>1-6</sub> alkyl, -C<sub>1-6</sub> haloalkyl, -O-C<sub>1-6</sub> alkyl, -O-C<sub>1-6</sub> haloalkyl, or hydroxy; or

- (B) a 5- or 6-membered heteroaromatic ring containing from 1 to 4 heteroatoms independently selected from N, O and S; wherein the heteroaromatic ring is
- (i) optionally substituted with from 1 to 4 substituents each of which is independently halogen, -C<sub>1-6</sub> alkyl, -C<sub>1-6</sub> haloalkyl, -O-C<sub>1-6</sub> alkyl, -O-C<sub>1-6</sub> haloalkyl, or hydroxy, and
  - (ii) optionally substituted with 1 or 2 substituents each of which is independently aryl or -C<sub>1-6</sub> alkyl substituted with aryl;

R<sup>2</sup> and R<sup>3</sup> are each independently -H or -C<sub>1-6</sub> alkyl;

R<sup>5</sup> is:

- (1) -C<sub>1-6</sub> alkyl,
- (2) -C<sub>3-8</sub> cycloalkyl optionally substituted with from 1 to 4 substituents each of which is independently -C<sub>1-6</sub> alkyl or -O-C<sub>1-6</sub> alkyl,
- (3) -C<sub>1-6</sub> alkyl substituted with C<sub>3-8</sub> cycloalkyl, wherein the cycloalkyl is optionally substituted with from 1 to 4 substituents each of which is independently -C<sub>1-6</sub> alkyl or -O-C<sub>1-6</sub> alkyl,
- (4) -C<sub>1-6</sub> alkyl substituted with aryl, wherein the aryl is optionally substituted with from 1 to 5 substituents each of which is independently -C<sub>1-6</sub> alkyl, -C<sub>1-6</sub> alkylene-O-C<sub>1-6</sub> alkyl, or halogen, or

- (5) -C<sub>1-6</sub> alkyl substituted with a 5- or 6-membered heteroaromatic ring containing from 1 to 4 heteroatoms independently selected from N, O and S, wherein the heteroaromatic ring is optionally substituted with from 1 to 4 substituents each of which is independently -C<sub>1-6</sub> alkyl;

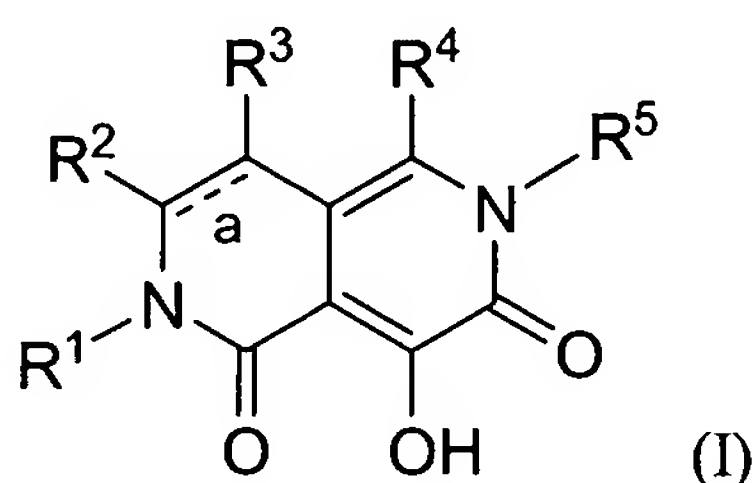
each aryl is independently phenyl, naphthyl, or indenyl;

each R<sup>a</sup> is independently H or C<sub>1-6</sub> alkyl;

each R<sup>b</sup> is independently H or C<sub>1-6</sub> alkyl; and

each n is independently an integer equal to zero, 1, or 2.

20. (currently amended) A compound of Formula I, or a pharmaceutically acceptable salt thereof:



wherein:

bond "  $\overset{a}{\text{---}}$  " in the ring is a single bond or a double bond;

R<sup>1</sup> is ~~-C<sub>1-6</sub> alkyl, R<sup>J</sup>, or~~ -C<sub>1-6</sub> alkyl substituted with R<sup>J</sup>, wherein R<sup>J</sup> is:

- (A) (i) aryl or (ii) aryl fused to a 5- or 6-membered heteroaromatic ring containing from 1 to 4 heteroatoms independently selected from N, O and S, ~~or (iii) aryl substituted on two adjacent ring carbons with alkylendioxy~~, wherein the aryl or fused aryl is; ~~or alkylendioxy aryl is~~:
- (a) optionally substituted with from 1 to 5 substituents each of which is independently:
- (1) -C<sub>1-6</sub> alkyl optionally substituted with -OH, -O-C<sub>1-6</sub> alkyl, -O-C<sub>1-6</sub> haloalkyl, -CN, -NO<sub>2</sub>, -N(R<sup>a</sup>)R<sup>b</sup>, -C(=O)N(R<sup>a</sup>)R<sup>b</sup>, -C(=O)R<sup>a</sup>, -CO<sub>2</sub>R<sup>a</sup>, -S(O)<sub>n</sub>R<sup>a</sup>, -SO<sub>2</sub>N(R<sup>a</sup>)R<sup>b</sup>, -N(R<sup>a</sup>)C(=O)R<sup>b</sup>,

- N(R<sup>a</sup>)CO<sub>2</sub>R<sup>b</sup>, -N(R<sup>a</sup>)SO<sub>2</sub>R<sup>b</sup>, -N(R<sup>a</sup>)SO<sub>2</sub>N(R<sup>a</sup>)R<sup>b</sup>,  
-OC(=O)N(R<sup>a</sup>)R<sup>b</sup>, or -N(R<sup>a</sup>)C(=O)N(R<sup>a</sup>)R<sup>b</sup>,
- (2) -O-C<sub>1-6</sub> alkyl,
  - (3) -C<sub>1-6</sub> haloalkyl,
  - (4) -O-C<sub>1-6</sub> haloalkyl,
  - (5) -OH,
  - (6) halogen,
  - (7) -CN,
  - (8) -NO<sub>2</sub>,
  - (9) -N(R<sup>a</sup>)R<sup>b</sup>,
  - (10) -C(=O)N(R<sup>a</sup>)R<sup>b</sup>,
  - (11) -C(=O)R<sup>a</sup>,
  - (12) -CO<sub>2</sub>R<sup>a</sup>,
  - (13) -SR<sup>a</sup>,
  - (14) -S(=O)R<sup>a</sup>,
  - (15) -SO<sub>2</sub>R<sup>a</sup>,
  - (16) -SO<sub>2</sub>N(R<sup>a</sup>)R<sup>b</sup>,
  - (17) -N(R<sup>a</sup>)SO<sub>2</sub>R<sup>b</sup>,
  - (18) -N(R<sup>a</sup>)SO<sub>2</sub>N(R<sup>a</sup>)R<sup>b</sup>,
  - (19) -N(R<sup>a</sup>)C(=O)R<sup>b</sup>,
  - (20) -N(R<sup>a</sup>)C(=O)-C(=O)N(R<sup>a</sup>)R<sup>b</sup>, or
  - (21) -N(R<sup>a</sup>)CO<sub>2</sub>R<sup>b</sup>, and ~~or~~
  - ~~(22) -N(R<sup>a</sup>)C(=O)N(R<sup>a</sup>)R<sup>b</sup>, and~~
- (b) optionally substituted with 1 or 2 substituents each of which is independently:
- (1) ~~phenyl, C<sub>3-8</sub> cycloalkyl which is optionally substituted with from 1 to 4 substituents each of which is independently halogen, CN, C<sub>1-6</sub> alkyl, OH, O-C<sub>1-6</sub> alkyl, C<sub>1-6</sub> haloalkyl, O-C<sub>1-6</sub> haloalkyl, C<sub>1-6</sub> alkylene CN, C<sub>1-6</sub> alkylene OH, or C<sub>1-6</sub> alkylene O-C<sub>1-6</sub> alkyl,~~
  - (2) ~~benzyl, aryl or C<sub>1-6</sub> alkyl substituted with aryl, wherein in either case the aryl is optionally substituted with from 1 to 5 substituents each of which is independently halogen, CN, NO<sub>2</sub>, C<sub>1-6</sub> alkyl, C<sub>1-6</sub> haloalkyl, OH, O-C<sub>1-6</sub> alkyl, O-C<sub>1-6</sub> haloalkyl, N(R<sup>a</sup>)R<sup>b</sup>, C(=O)N(R<sup>a</sup>)R<sup>b</sup>, C(=O)R<sup>a</sup>, C(=O)OR<sup>a</sup>, SR<sup>a</sup>, S(=O)R<sup>a</sup>, S(=O)<sub>2</sub>R<sup>a</sup>, S(=O)<sub>2</sub>N(R<sup>a</sup>)R<sup>b</sup>, S(=O)<sub>2</sub>N(R<sup>a</sup>)C(=O)R<sup>b</sup>, C<sub>1-6</sub> alkylene CN, C<sub>1-6</sub> alkylene NO<sub>2</sub>, C<sub>1-6</sub> alkylene OH, C<sub>1-6</sub> alkylene O-C<sub>1-6</sub> alkyl,~~



~~C<sub>1-6</sub>-alkylene-O-C<sub>1-6</sub>-haloalkyl, C<sub>1-6</sub>-alkylene-N(R<sup>a</sup>)R<sup>b</sup>, C<sub>1-6</sub>-alkylene-C(O)N(R<sup>a</sup>)R<sup>b</sup>, C<sub>1-6</sub>-alkylene-C(O)R<sup>a</sup>, C<sub>1-6</sub>-alkylene-C(O)OR<sup>a</sup>, C<sub>1-6</sub>-alkylene-SR<sup>a</sup>, C<sub>1-6</sub>-alkylene-S(O)R<sup>a</sup>, C<sub>1-6</sub>-alkylene-S(O)<sub>2</sub>R<sup>a</sup>, C<sub>1-6</sub>-alkylene-S(O)<sub>2</sub>N(R<sup>a</sup>)R<sup>b</sup>, or C<sub>1-6</sub>-alkylene-S(O)<sub>2</sub>N(R<sup>a</sup>)C(O)R<sup>b</sup>,~~

- (3) -HetA,
- (4) -C(=O)-HetA, or
- (5) -HetB;

wherein each HetA is independently a C<sub>4-7</sub> azacycloalkyl or a C<sub>3-6</sub> diazacycloalkyl, either of which is optionally substituted with from 1 to 4 substituents each of which is independently oxo or C<sub>1-6</sub> alkyl; and ~~halogen, CN, C<sub>1-6</sub> alkyl, OH, exo, O-C<sub>1-6</sub> alkyl, C<sub>1-6</sub> haloalkyl, S(O)<sub>2</sub>R<sup>a</sup>, C<sub>1-6</sub> alkylene-CN, C<sub>1-6</sub> alkylene-OH, or C<sub>1-6</sub> alkylene-O-C<sub>1-6</sub> alkyl; and~~

wherein each HetB is independently a 5- or 6-membered heteroaromatic ring containing from 1 to 4 heteroatoms independently selected from N, O and S, wherein the heteroaromatic ring is optionally substituted with from 1 to 4 substituents each of which is independently halogen, C<sub>1-6</sub> alkyl, C<sub>1-6</sub> haloalkyl, O-C<sub>1-6</sub> alkyl, O-C<sub>1-6</sub> haloalkyl, or hydroxy; ~~CN, NO<sub>2</sub>, C<sub>1-6</sub> alkyl, C<sub>1-6</sub> haloalkyl, OH, O-C<sub>1-6</sub> alkyl, or O-C<sub>1-6</sub> haloalkyl, N(R<sup>a</sup>)R<sup>b</sup>, C(O)N(R<sup>a</sup>)R<sup>b</sup>, C(O)R<sup>a</sup>, C(O)OR<sup>a</sup>, SR<sup>a</sup>, S(O)R<sup>a</sup>, S(O)<sub>2</sub>R<sup>a</sup>, S(O)<sub>2</sub>N(R<sup>a</sup>)R<sup>b</sup>, S(O)<sub>2</sub>N(R<sup>a</sup>)C(O)R<sup>b</sup>, C<sub>1-6</sub> alkylene-CN, C<sub>1-6</sub> alkylene-NO<sub>2</sub>, C<sub>1-6</sub> alkylene-OH, C<sub>1-6</sub> alkylene-O-C<sub>1-6</sub> alkyl, C<sub>1-6</sub> alkylene-O-C<sub>1-6</sub> haloalkyl, C<sub>1-6</sub> alkylene-N(R<sup>a</sup>)R<sup>b</sup>, C<sub>1-6</sub> alkylene-C(O)N(R<sup>a</sup>)R<sup>b</sup>, C<sub>1-6</sub> alkylene-C(O)R<sup>a</sup>, C<sub>1-6</sub> alkylene-C(O)OR<sup>a</sup>, C<sub>1-6</sub> alkylene-SR<sup>a</sup>, C<sub>1-6</sub> alkylene-S(O)R<sup>a</sup>, C<sub>1-6</sub> alkylene-S(O)<sub>2</sub>R<sup>a</sup>, C<sub>1-6</sub> alkylene-S(O)<sub>2</sub>N(R<sup>a</sup>)R<sup>b</sup>, or C<sub>1-6</sub> alkylene-S(O)<sub>2</sub>N(R<sup>a</sup>)C(O)R<sup>b</sup>; or~~

- (B) a 5- or 6-membered heteroaromatic ring containing from 1 to 4 heteroatoms independently selected from N, O and S, wherein the heteroaromatic ring is:
  - (i) optionally substituted with from 1 to 4 substituents each of which is independently halogen, -C<sub>1-6</sub> alkyl, -C<sub>1-6</sub> haloalkyl, -O-C<sub>1-6</sub> alkyl, -O-C<sub>1-6</sub> haloalkyl, or hydroxy; and
  - (ii) optionally substituted with 1 or 2 substituents each of which is independently aryl or -C<sub>1-6</sub> alkyl substituted with aryl;

(a) ~~optionally substituted with from 1 to 4 substituents each of which is independently:~~

- (1) ~~C<sub>1-6</sub> alkyl optionally substituted with OH, O-C<sub>1-6</sub> alkyl, O-C<sub>1-6</sub> haloalkyl, CN, NO<sub>2</sub>, N(R<sup>a</sup>)R<sup>b</sup>, C(=O)N(R<sup>a</sup>)R<sup>b</sup>, C(=O)R<sup>a</sup>, CO<sub>2</sub>R<sup>a</sup>, S(O)<sub>n</sub>R<sup>a</sup>, SO<sub>2</sub>N(R<sup>a</sup>)R<sup>b</sup>, N(R<sup>a</sup>)C(=O)R<sup>b</sup>, N(R<sup>a</sup>)CO<sub>2</sub>R<sup>b</sup>, N(R<sup>a</sup>)SO<sub>2</sub>R<sup>b</sup>, N(R<sup>a</sup>)SO<sub>2</sub>N(R<sup>a</sup>)R<sup>b</sup>, OC(=O)N(R<sup>a</sup>)R<sup>b</sup>, or N(R<sup>a</sup>)C(=O)N(R<sup>a</sup>)R<sup>b</sup>,~~
- (2) ~~O-C<sub>1-6</sub> alkyl,~~
- (3) ~~C<sub>1-6</sub> haloalkyl,~~
- (4) ~~O-C<sub>1-6</sub> haloalkyl,~~
- (5) ~~OH,~~
- (6) ~~halogen,~~
- (7) ~~CN,~~
- (8) ~~NO<sub>2</sub>,~~
- (9) ~~N(R<sup>a</sup>)R<sup>b</sup>,~~
- (10) ~~C(=O)N(R<sup>a</sup>)R<sup>b</sup>,~~
- (11) ~~C(=O)R<sup>a</sup>,~~
- (12) ~~CO<sub>2</sub>R<sup>a</sup>,~~
- (13) ~~SR<sup>a</sup>,~~
- (14) ~~S(=O)R<sup>a</sup>,~~
- (15) ~~SO<sub>2</sub>R<sup>a</sup>,~~
- (16) ~~SO<sub>2</sub>N(R<sup>a</sup>)R<sup>b</sup>,~~
- (17) ~~N(R<sup>a</sup>)SO<sub>2</sub>R<sup>b</sup>,~~
- (18) ~~N(R<sup>a</sup>)SO<sub>2</sub>N(R<sup>a</sup>)R<sup>b</sup>,~~
- (19) ~~N(R<sup>a</sup>)C(=O)R<sup>b</sup>,~~
- (20) ~~N(R<sup>a</sup>)C(=O)-C(=O)N(R<sup>a</sup>)R<sup>b</sup>,~~
- (21) ~~N(R<sup>a</sup>)CO<sub>2</sub>R<sup>b</sup>, or~~
- (22) ~~N(R<sup>a</sup>)C(=O)N(R<sup>a</sup>)R<sup>b</sup>, and~~

(b) ~~optionally substituted with 1 or 2 substituents each of which is independently:~~

- (1) ~~C<sub>3-8</sub> cycloalkyl which is optionally substituted with from 1 to 4 substituents each of which is independently halogen, CN, C<sub>1-6</sub> alkyl, OH, O-C<sub>1-6</sub> alkyl, C<sub>1-6</sub> haloalkyl, O-C<sub>1-6</sub> haloalkyl, C<sub>1-6</sub> alkylene CN, C<sub>1-6</sub> alkylene OH, or C<sub>1-6</sub> alkylene O-C<sub>1-6</sub> alkyl,~~
- (2) ~~aryl or C<sub>1-6</sub> alkyl substituted with aryl, wherein in either case the aryl is optionally substituted with from 1 to 5 substituents each of~~

~~which is independently halogen, CN, NO<sub>2</sub>, C<sub>1-6</sub> alkyl, C<sub>1-6</sub> haloalkyl, OH, O-C<sub>1-6</sub> alkyl, O-C<sub>1-6</sub> haloalkyl, N(R<sup>a</sup>)R<sup>b</sup>, C(O)N(R<sup>a</sup>)R<sup>b</sup>, C(O)R<sup>a</sup>, C(O)OR<sup>a</sup>, SR<sup>a</sup>, S(O)R<sup>a</sup>, S(O)<sub>2</sub>R<sup>a</sup>, S(O)<sub>2</sub>N(R<sup>a</sup>)R<sup>b</sup>, S(O)<sub>2</sub>N(R<sup>a</sup>)C(O)R<sup>b</sup>, C<sub>1-6</sub> alkylene CN, C<sub>1-6</sub> alkylene NO<sub>2</sub>, C<sub>1-6</sub> alkylene OH, C<sub>1-6</sub> alkylene O-C<sub>1-6</sub> alkyl, C<sub>1-6</sub> alkylene O-C<sub>1-6</sub> haloalkyl, C<sub>1-6</sub> alkylene N(R<sup>a</sup>)R<sup>b</sup>, C<sub>1-6</sub> alkylene C(O)N(R<sup>a</sup>)R<sup>b</sup>, C<sub>1-6</sub> alkylene C(O)R<sup>a</sup>, C<sub>1-6</sub> alkylene C(O)OR<sup>a</sup>, C<sub>1-6</sub> alkylene SR<sup>a</sup>, C<sub>1-6</sub> alkylene S(O)R<sup>a</sup>, C<sub>1-6</sub> alkylene S(O)<sub>2</sub>R<sup>a</sup>, C<sub>1-6</sub> alkylene S(O)<sub>2</sub>N(R<sup>a</sup>)R<sup>b</sup>, or C<sub>1-6</sub> alkylene S(O)<sub>2</sub>N(R<sup>a</sup>)C(O)R<sup>b</sup>,~~

(3) ~~—HetA,~~

(4) ~~—C(=O)-HetA, or~~

(5) ~~—HetB;~~

~~—wherein HetA and HetB are each independently as defined above;~~

R<sup>2</sup> and R<sup>3</sup> are each independently -H or -C<sub>1-6</sub> alkyl;

~~R<sup>2</sup> is H or C<sub>1-6</sub> alkyl;~~

~~R<sup>3</sup> independently has the same definition as R<sup>4</sup>, with the proviso that at least one of R<sup>3</sup> and R<sup>4</sup> is H or C<sub>1-6</sub> alkyl;~~

~~or, as an alternative, when bond "<sup>a</sup>" is a double bond, R<sup>2</sup> and R<sup>3</sup> together with the carbon atoms to which each is attached form:~~

- ~~(i) —a benzene ring which is optionally substituted with a total of from 1 to 4 substituents wherein (a) from zero to 4 substituents are each independently one of substituents (1) to (22) as defined in part (A)(a) of the definition of R<sup>1</sup> and (b) from zero to 2 substituents are each independently one of the substituents (1) to (5) as defined in part (A)(b) of the definition of R<sup>1</sup>, or~~
- ~~(ii) —a 5- or 6-membered heteroaromatic ring containing from 1 to 4 heteroatoms independently selected from N, O and S, wherein the heteroaromatic ring is optionally substituted with a total of from 1 to 3 substituents wherein (a) from zero to 3 substituents are each independently one of substituents (1) to (22) as defined in part (B)(a) of the definition of R<sup>1</sup> and (b) from zero to 2 substituents~~

~~are each independently one of the substituents (1) to (5) as defined in part (B)(b) of the definition of R<sup>1</sup>;~~

R<sup>4</sup> is:

- (1) -H,
- (2) -C<sub>1-6</sub> alkyl,
- (3) -C<sub>1-6</sub> haloalkyl,
- (4) -C<sub>1-6</sub> alkyl substituted with -OH, -O-C<sub>1-6</sub> alkyl, -O-C<sub>1-6</sub> haloalkyl, -CN, -N(R<sup>a</sup>)R<sup>b</sup>, -C(=O)N(R<sup>a</sup>)R<sup>b</sup>, -C(=O)R<sup>a</sup>, -CO<sub>2</sub>R<sup>a</sup>, -C(=O)-N(R<sup>a</sup>)-C<sub>1-6</sub> alkylene-OR<sup>b</sup> with the proviso that the -N(R<sup>a</sup>)- moiety and the -OR<sup>b</sup> moiety are not both attached to the same carbon of the -C<sub>1-6</sub> alkylene- moiety, -S(O)<sub>n</sub>R<sup>a</sup>, -SO<sub>2</sub>N(R<sup>a</sup>)R<sup>b</sup>, -N(R<sup>a</sup>)C(=O)-R<sup>b</sup>, -N(R<sup>a</sup>)CO<sub>2</sub>R<sup>b</sup>, -N(R<sup>a</sup>)SO<sub>2</sub>R<sup>b</sup>, -N(R<sup>a</sup>)SO<sub>2</sub>N(R<sup>a</sup>)R<sup>b</sup>, -N(R<sup>a</sup>)C(=O)N(R<sup>a</sup>)R<sup>b</sup>, or -OC(=O)N(R<sup>a</sup>)R<sup>b</sup>,
- (5) -C(=O)R<sup>a</sup>,
- (6) -CO<sub>2</sub>R<sup>a</sup>,
- (7) -C(=O)N(R<sup>a</sup>)R<sup>b</sup>,
- (8) -C(=O)-N(R<sup>a</sup>)-C<sub>1-6</sub> alkylene-OR<sup>b</sup> with the proviso that the -N(R<sup>a</sup>)- moiety and the -OR<sup>b</sup> moiety are not both attached to the same carbon of the -C<sub>1-6</sub> alkylene- moiety,
- (9) -N(R<sup>a</sup>)-C(=O)-R<sup>b</sup>,
- (10) -N(R<sup>a</sup>)-C(=O)-C(=O)N(R<sup>a</sup>)R<sup>b</sup>,
- (11) -N(R<sup>a</sup>)SO<sub>2</sub>R<sup>b</sup>,
- (12) -N(R<sup>a</sup>)SO<sub>2</sub>N(R<sup>a</sup>)R<sup>b</sup>,
- (13) -N(R<sup>a</sup>)C(=O)N(R<sup>a</sup>)R<sup>b</sup>,
- (14) -OC(=O)N(R<sup>a</sup>)R<sup>b</sup>,
- (15) -R<sup>K</sup>,
- (16) -C(=O)-R<sup>K</sup>,
- (17) -C(=O)N(R<sup>a</sup>)-R<sup>K</sup>,
- (18) -C(=O)N(R<sup>a</sup>)-C<sub>1-6</sub> alkylene-R<sup>K</sup>,
- (19) -C<sub>1-6</sub> alkyl substituted with -R<sup>K</sup>,
- (20) -C<sub>1-6</sub> alkyl substituted with -C(=O)-R<sup>K</sup>,
- (21) -C<sub>1-6</sub> alkyl substituted with -C(=O)N(R<sup>a</sup>)-R<sup>K</sup>, or
- (22) -C<sub>1-6</sub> alkyl substituted with -C(=O)N(R<sup>a</sup>)-C<sub>1-6</sub> alkylene-R<sup>K</sup>; or
- ~~(23) -C(=O)N(R<sup>a</sup>)R<sup>e</sup>,~~
- ~~(24) -CN,~~
- ~~(25) -halogen,~~

~~(26) — N(R<sup>a</sup>)R<sup>b</sup>, or~~

~~(27) — N(R<sup>a</sup>)CO<sub>2</sub>R<sup>b</sup>;~~

wherein R<sup>K</sup> is

- (i) C<sub>3-8</sub> cycloalkyl which is optionally substituted with from 1 to 4 substituents each of which is independently halogen, -OH, -C<sub>1-6</sub> alkyl, -C<sub>1-6</sub> haloalkyl, -O-C<sub>1-6</sub> alkyl, or -O-C<sub>1-6</sub> haloalkyl, CN, C<sub>1-6</sub> alkyl, OH, O-C<sub>1-6</sub> alkyl, C<sub>1-6</sub> haloalkyl, O-C<sub>1-6</sub> haloalkyl, C<sub>1-6</sub> alkylene-CN, C<sub>1-6</sub> alkylene-OH, or C<sub>1-6</sub> alkylene-O-C<sub>1-6</sub> alkyl,
- (ii) aryl, which is optionally substituted with from 1 to 5 substituents each of which is independently -C<sub>1-6</sub> alkyl, -C<sub>1-6</sub> alkylene-OH, -C<sub>1-6</sub> alkylene-O-C<sub>1-6</sub> alkyl, -C<sub>1-6</sub> alkylene-O-C<sub>1-6</sub> haloalkyl, -C<sub>1-6</sub> alkylene-N(R<sup>a</sup>)R<sup>b</sup>, -C<sub>1-6</sub> alkylene-C(=O)N(R<sup>a</sup>)R<sup>b</sup>, -C<sub>1-6</sub> alkylene-C(=O)R<sup>a</sup>, -C<sub>1-6</sub> alkylene-CO<sub>2</sub>R<sup>a</sup>, -C<sub>1-6</sub> alkylene-S(O)<sub>n</sub>R<sup>a</sup>, -O-C<sub>1-6</sub> alkyl, -C<sub>1-6</sub> haloalkyl, -O-C<sub>1-6</sub> haloalkyl, -OH, halogen, -N(R<sup>a</sup>)R<sup>b</sup>, -C(=O)N(R<sup>a</sup>)R<sup>b</sup>, -C(=O)R<sup>a</sup>, -CO<sub>2</sub>R<sup>a</sup>, -S(O)<sub>n</sub>R<sup>a</sup>, or -SO<sub>2</sub>N(R<sup>a</sup>)R<sup>b</sup>,
- (iii) Het<sup>K</sup>, which is a 4- to 7-membered saturated heterocyclic ring containing at least one carbon atom and from 1 to 4 heteroatoms independently selected from N, O and S, wherein the heterocyclic ring is:
  - (a) optionally substituted with from 1 to 6 substituents each of which is independently halogen, -C<sub>1-6</sub> alkyl, -C<sub>1-6</sub> haloalkyl, -O-C<sub>1-6</sub> alkyl, -O-C<sub>1-6</sub> haloalkyl, or oxo; oxo, -C(=O)N(R<sup>a</sup>)R<sup>b</sup>, -C(=O)C(=O)N(R<sup>a</sup>)R<sup>b</sup>, -C(=O)R<sup>a</sup>, -CO<sub>2</sub>R<sup>a</sup>, -S(O)<sub>n</sub>R<sup>a</sup>, or -SO<sub>2</sub>N(R<sup>a</sup>)R<sup>b</sup>; and
  - (b) optionally substituted with aryl or Het<sup>C</sup>; with:
    - ~~(1) — C<sub>3-8</sub> cycloalkyl which is optionally substituted with from 1 to 4 substituents each of which is independently halogen, CN, C<sub>1-6</sub> alkyl, OH, O-C<sub>1-6</sub> alkyl, C<sub>1-6</sub> haloalkyl, O-C<sub>1-6</sub> haloalkyl, C<sub>1-6</sub> alkylene-CN, C<sub>1-6</sub> alkylene-OH, or C<sub>1-6</sub> alkylene-O-C<sub>1-6</sub> alkyl,~~
    - ~~(2) — aryl which is optionally substituted with from 1 to 5 substituents each of which is independently halogen, CN, NO<sub>2</sub>, C<sub>1-6</sub> alkyl, C<sub>1-6</sub> haloalkyl, OH, O-C<sub>1-6</sub> alkyl, O-C<sub>1-6</sub> haloalkyl, N(R<sup>a</sup>)R<sup>b</sup>, C(O)N(R<sup>a</sup>)R<sup>b</sup>, C(O)R<sup>a</sup>, C(O)OR<sup>a</sup>, SR<sup>a</sup>, S(O)R<sup>a</sup>, S(O)<sub>2</sub>R<sup>a</sup>, S(O)<sub>2</sub>N(R<sup>a</sup>)R<sup>b</sup>, S(O)<sub>2</sub>N(R<sup>a</sup>)C(O)R<sup>b</sup>, C<sub>1-6</sub> alkylene-CN, C<sub>1-6</sub>~~

~~alkylene NO<sub>2</sub>, C<sub>1-6</sub> alkylene OH, C<sub>1-6</sub> alkylene O C<sub>1-6</sub> alkyl, C<sub>1-6</sub> alkylene O C<sub>1-6</sub> haloalkyl, C<sub>1-6</sub> alkylene N(R<sup>a</sup>)R<sup>b</sup>, C<sub>1-6</sub> alkylene C(O)N(R<sup>a</sup>)R<sup>b</sup>, C<sub>1-6</sub> alkylene C(O)R<sup>a</sup>, C<sub>1-6</sub> alkylene C(O)OR<sup>a</sup>, C<sub>1-6</sub> alkylene SR<sup>a</sup>, C<sub>1-6</sub> alkylene S(O)R<sup>a</sup>, C<sub>1-6</sub> alkylene S(O)<sub>2</sub>R<sup>a</sup>, C<sub>1-6</sub> alkylene S(O)<sub>2</sub>N(R<sup>a</sup>)R<sup>b</sup>, or C<sub>1-6</sub> alkylene S(O)<sub>2</sub>N(R<sup>a</sup>)C(O)R<sup>b</sup>, or~~

(3) ~~HetC,~~

wherein HetC is a 5- or 6-membered heteroaromatic ring containing from 1 to 4 heteroatoms independently selected from N, O and S, wherein the heteroaromatic ring is optionally fused with a benzene ring, and the optionally fused heteroaromatic ring is optionally substituted with from 1 to 4 substituents each of which is independently ~~-C<sub>1-6</sub> alkyl, -C<sub>1-6</sub> haloalkyl, -O-C<sub>1-6</sub> alkyl, -O-C<sub>1-6</sub> haloalkyl, or hydroxy; or halogen, CN, NO<sub>2</sub>, C<sub>1-6</sub> alkyl, C<sub>1-6</sub> haloalkyl, OH, O-C<sub>1-6</sub> alkyl, O-C<sub>1-6</sub> haloalkyl, N(R<sup>a</sup>)R<sup>b</sup>, C(O)N(R<sup>a</sup>)R<sup>b</sup>, C(O)R<sup>a</sup>, C(O)OR<sup>a</sup>, SR<sup>a</sup>, S(O)R<sup>a</sup>, S(O)<sub>2</sub>R<sup>a</sup>, S(O)<sub>2</sub>N(R<sup>a</sup>)R<sup>b</sup>, S(O)<sub>2</sub>N(R<sup>a</sup>)C(O)R<sup>b</sup>, C<sub>1-6</sub> alkylene CN, C<sub>1-6</sub> alkylene NO<sub>2</sub>, C<sub>1-6</sub> alkylene OH, C<sub>1-6</sub> alkylene O C<sub>1-6</sub> alkyl, C<sub>1-6</sub> alkylene O C<sub>1-6</sub> haloalkyl, C<sub>1-6</sub> alkylene N(R<sup>a</sup>)R<sup>b</sup>, C<sub>1-6</sub> alkylene C(O)N(R<sup>a</sup>)R<sup>b</sup>, C<sub>1-6</sub> alkylene C(O)R<sup>a</sup>, C<sub>1-6</sub> alkylene C(O)OR<sup>a</sup>, C<sub>1-6</sub> alkylene SR<sup>a</sup>, C<sub>1-6</sub> alkylene S(O)R<sup>a</sup>, C<sub>1-6</sub> alkylene S(O)<sub>2</sub>R<sup>a</sup>, C<sub>1-6</sub> alkylene S(O)<sub>2</sub>N(R<sup>a</sup>)R<sup>b</sup>, or C<sub>1-6</sub> alkylene S(O)<sub>2</sub>N(R<sup>a</sup>)C(O)R<sup>b</sup>, or~~

- (iv) -HetL, which is a 5- or 6-membered heteroaromatic ring containing from 1 to 4 heteroatoms independently selected from N, O and S, wherein the heteroaromatic ring is optionally substituted with from 1 to 4 substituents each of which is independently halogen, ~~-C<sub>1-6</sub> alkyl, -C<sub>1-6</sub> haloalkyl, -O-C<sub>1-6</sub> alkyl, -O-C<sub>1-6</sub> haloalkyl, or hydroxy; CN, NO<sub>2</sub>, C<sub>1-6</sub> alkyl, C<sub>1-6</sub> haloalkyl, OH, O-C<sub>1-6</sub> alkyl, O-C<sub>1-6</sub> haloalkyl, N(R<sup>a</sup>)R<sup>b</sup>, C(O)N(R<sup>a</sup>)R<sup>b</sup>, C(O)R<sup>a</sup>, C(O)OR<sup>a</sup>, SR<sup>a</sup>, S(O)R<sup>a</sup>, S(O)<sub>2</sub>R<sup>a</sup>, S(O)<sub>2</sub>N(R<sup>a</sup>)R<sup>b</sup>, S(O)<sub>2</sub>N(R<sup>a</sup>)C(O)R<sup>b</sup>, C<sub>1-6</sub> alkylene CN, C<sub>1-6</sub> alkylene NO<sub>2</sub>, C<sub>1-6</sub> alkylene OH, C<sub>1-6</sub> alkylene O C<sub>1-6</sub> alkyl, C<sub>1-6</sub> alkylene O C<sub>1-6</sub> haloalkyl, C<sub>1-6</sub> alkylene N(R<sup>a</sup>)R<sup>b</sup>, C<sub>1-6</sub> alkylene C(O)N(R<sup>a</sup>)R<sup>b</sup>, C<sub>1-6</sub> alkylene C(O)R<sup>a</sup>, C<sub>1-6</sub> alkylene C(O)OR<sup>a</sup>, C<sub>1-6</sub> alkylene SR<sup>a</sup>, C<sub>1-6</sub>~~



~~alkylene S(O)R<sup>a</sup>, C<sub>1-6</sub> alkylene S(O)<sub>2</sub>R<sup>a</sup>, C<sub>1-6</sub> alkylene S(O)<sub>2</sub>N(R<sup>a</sup>)R<sup>b</sup>,  
or C<sub>1-6</sub> alkylene S(O)<sub>2</sub>N(R<sup>a</sup>)C(O)R<sup>b</sup>;~~

R<sup>5</sup> is:

- (1) -H,
- (2) -C<sub>1-6</sub> alkyl,
- (3) -C<sub>3-8</sub> cycloalkyl optionally substituted with from 1 to 4 substituents each of which is independently halogen, -OH, -C<sub>1-6</sub> alkyl, -C<sub>1-6</sub> haloalkyl, -O-C<sub>1-6</sub> alkyl, or -O-C<sub>1-6</sub> haloalkyl, ~~CN, C<sub>1-6</sub> alkyl, OH, O-C<sub>1-6</sub> alkyl, C<sub>1-6</sub> haloalkyl, O-C<sub>1-6</sub> haloalkyl, C<sub>1-6</sub> alkylene CN, C<sub>1-6</sub> alkylene OH, or C<sub>1-6</sub> alkylene O-C<sub>1-6</sub> alkyl,~~
- (4) -C<sub>1-6</sub> alkyl substituted with C<sub>3-8</sub> cycloalkyl, wherein the cycloalkyl is optionally substituted with from 1 to 4 substituents each of which is independently halogen, -OH, -C<sub>1-6</sub> alkyl, -C<sub>1-6</sub> haloalkyl, -O-C<sub>1-6</sub> alkyl, or -O-C<sub>1-6</sub> haloalkyl, ~~CN, C<sub>1-6</sub> alkyl, OH, O-C<sub>1-6</sub> alkyl, C<sub>1-6</sub> haloalkyl, O-C<sub>1-6</sub> haloalkyl, C<sub>1-6</sub> alkylene CN, C<sub>1-6</sub> alkylene OH, or C<sub>1-6</sub> alkylene O-C<sub>1-6</sub> alkyl,~~
- (5) -C<sub>1-6</sub> alkyl substituted with aryl, wherein the aryl is optionally substituted with from 1 to 5 substituents each of which is independently -C<sub>1-6</sub> alkyl, -C<sub>1-6</sub> alkylene-OH, -C<sub>1-6</sub> alkylene-O-C<sub>1-6</sub> alkyl, -C<sub>1-6</sub> alkylene-O-C<sub>1-6</sub> haloalkyl, -C<sub>1-6</sub> alkylene-N(R<sup>a</sup>)R<sup>b</sup>, -C<sub>1-6</sub> alkylene-C(=O)N(R<sup>a</sup>)R<sup>b</sup>, -C<sub>1-6</sub> alkylene-C(=O)R<sup>a</sup>, -C<sub>1-6</sub> alkylene-CO<sub>2</sub>R<sup>a</sup>, -C<sub>1-6</sub> alkylene-S(O)<sub>n</sub>R<sup>a</sup>, -O-C<sub>1-6</sub> alkyl, -C<sub>1-6</sub> haloalkyl, -O-C<sub>1-6</sub> haloalkyl, -OH, halogen, -N(R<sup>a</sup>)R<sup>b</sup>, -C(=O)N(R<sup>a</sup>)R<sup>b</sup>, -C(=O)R<sup>a</sup>, -CO<sub>2</sub>R<sup>a</sup>, -S(O)<sub>n</sub>R<sup>a</sup>, or -SO<sub>2</sub>N(R<sup>a</sup>)R<sup>b</sup>, or halogen, CN, NO<sub>2</sub>, C<sub>1-6</sub> alkyl, C<sub>1-6</sub> haloalkyl, OH, O-C<sub>1-6</sub> alkyl, O-C<sub>1-6</sub> haloalkyl, N(R<sup>a</sup>)R<sup>b</sup>, C(O)N(R<sup>a</sup>)R<sup>b</sup>, C(O)R<sup>a</sup>, C(O)OR<sup>a</sup>, SR<sup>a</sup>, S(O)R<sup>a</sup>, S(O)<sub>2</sub>R<sup>a</sup>, S(O)<sub>2</sub>N(R<sup>a</sup>)R<sup>b</sup>, S(O)<sub>2</sub>N(R<sup>a</sup>)C(O)R<sup>b</sup>, C<sub>1-6</sub> alkylene CN, C<sub>1-6</sub> alkylene NO<sub>2</sub>, C<sub>1-6</sub> alkylene OH, C<sub>1-6</sub> alkylene O-C<sub>1-6</sub> alkyl, C<sub>1-6</sub> alkylene O-C<sub>1-6</sub> haloalkyl, C<sub>1-6</sub> alkylene N(R<sup>a</sup>)R<sup>b</sup>, C<sub>1-6</sub> alkylene C(O)N(R<sup>a</sup>)R<sup>b</sup>, C<sub>1-6</sub> alkylene C(O)R<sup>a</sup>, C<sub>1-6</sub> alkylene C(O)OR<sup>a</sup>, C<sub>1-6</sub> alkylene SR<sup>a</sup>, C<sub>1-6</sub> alkylene S(O)R<sup>a</sup>, C<sub>1-6</sub> alkylene S(O)<sub>2</sub>R<sup>a</sup>, C<sub>1-6</sub> alkylene S(O)<sub>2</sub>N(R<sup>a</sup>)R<sup>b</sup>, or C<sub>1-6</sub> alkylene S(O)<sub>2</sub>N(R<sup>a</sup>)C(O)R<sup>b</sup>,
- (6) -C<sub>1-6</sub> alkyl substituted with HetD, wherein HetD is:
  - (i) a 4- to 7-membered saturated heterocyclic ring containing at least one carbon atom and from 1 to 4 heteroatoms independently selected from N, O and S, wherein the heterocyclic ring is optionally substituted with from 1 to 5 substituents each of which

is independently halogen, -C<sub>1-6</sub> alkyl, -C<sub>1-6</sub> haloalkyl, -O-C<sub>1-6</sub> alkyl, -O-C<sub>1-6</sub> haloalkyl, or oxo; oxo, ~~C(=O)N(R<sup>a</sup>)R<sup>b</sup>,  
C(=O)C(=O)N(R<sup>a</sup>)R<sup>b</sup>, C(=O)R<sup>a</sup>, CO<sub>2</sub>R<sup>a</sup>, S(O)<sub>n</sub>R<sup>a</sup>, or  
SO<sub>2</sub>N(R<sup>a</sup>)R<sup>b</sup>; or~~

- (ii) a 5- or 6-membered heteroaromatic ring containing from 1 to 4 heteroatoms independently selected from N, O and S, wherein the heteroaromatic ring is optionally substituted with from 1 to 4 substituents each of which is independently -C<sub>1-6</sub> alkyl, -C<sub>1-6</sub> haloalkyl, -O-C<sub>1-6</sub> alkyl, -O-C<sub>1-6</sub> haloalkyl, or hydroxy; ;

~~(7) aryl, which is optionally substituted with from 1 to 5 substituents each of which is independently C<sub>1-6</sub> alkyl, C<sub>1-6</sub> alkylene OH, C<sub>1-6</sub> alkylene O-C<sub>1-6</sub> alkyl, C<sub>1-6</sub> alkylene O-C<sub>1-6</sub> haloalkyl, C<sub>1-6</sub> alkylene N(R<sup>a</sup>)R<sup>b</sup>, C<sub>1-6</sub> alkylene C(=O)N(R<sup>a</sup>)R<sup>b</sup>, C<sub>1-6</sub> alkylene C(=O)R<sup>a</sup>, C<sub>1-6</sub> alkylene CO<sub>2</sub>R<sup>a</sup>, C<sub>1-6</sub> alkylene S(O)<sub>n</sub>R<sup>a</sup>, O-C<sub>1-6</sub> alkyl, C<sub>1-6</sub> haloalkyl, O-C<sub>1-6</sub> haloalkyl, OH, halogen, CN, NO<sub>2</sub>, N(R<sup>a</sup>)R<sup>b</sup>, N(R<sup>a</sup>)C(=O)R<sup>b</sup>, N(R<sup>a</sup>)C(=O)-C<sub>1-6</sub> haloalkyl, N(R<sup>a</sup>)C(=O)N(R<sup>a</sup>)R<sup>b</sup>, N(R<sup>a</sup>)CO<sub>2</sub>R<sup>b</sup>, N(R<sup>a</sup>)S(O)<sub>n</sub>R<sup>b</sup>, C(=O)N(R<sup>d</sup>)R<sup>e</sup>, C(=O)R<sup>a</sup>, CO<sub>2</sub>R<sup>a</sup>, S(O)<sub>n</sub>R<sup>a</sup>, or SO<sub>2</sub>N(R<sup>d</sup>)R<sup>e</sup>,~~

~~(8) a 5- or 6-membered heteroaromatic ring containing from 1 to 4 heteroatoms independently selected from N, O and S, wherein the heteroaromatic ring is optionally substituted with from 1 to 4 substituents each of which is independently halogen, CN, NO<sub>2</sub>, C<sub>1-6</sub> alkyl, C<sub>1-6</sub> haloalkyl, OH, O-C<sub>1-6</sub> alkyl, O-C<sub>1-6</sub> haloalkyl, N(R<sup>a</sup>)R<sup>b</sup>, C(O)N(R<sup>a</sup>)R<sup>b</sup>, C(O)R<sup>a</sup>, C(O)OR<sup>a</sup>, SR<sup>a</sup>, S(O)R<sup>a</sup>, S(O)<sub>2</sub>R<sup>a</sup>, S(O)<sub>2</sub>N(R<sup>a</sup>)R<sup>b</sup>, S(O)<sub>2</sub>N(R<sup>a</sup>)C(O)R<sup>b</sup>, C<sub>1-6</sub> alkylene CN, C<sub>1-6</sub> alkylene NO<sub>2</sub>, C<sub>1-6</sub> alkylene OH, C<sub>1-6</sub> alkylene O-C<sub>1-6</sub> alkyl, C<sub>1-6</sub> alkylene O-C<sub>1-6</sub> haloalkyl, C<sub>1-6</sub> alkylene N(R<sup>a</sup>)R<sup>b</sup>, C<sub>1-6</sub> alkylene C(O)N(R<sup>a</sup>)R<sup>b</sup>, C<sub>1-6</sub> alkylene C(O)R<sup>a</sup>, C<sub>1-6</sub> alkylene C(O)OR<sup>a</sup>, C<sub>1-6</sub> alkylene SR<sup>a</sup>, C<sub>1-6</sub> alkylene S(O)R<sup>a</sup>, C<sub>1-6</sub> alkylene S(O)<sub>2</sub>R<sup>a</sup>, C<sub>1-6</sub> alkylene S(O)<sub>2</sub>N(R<sup>a</sup>)R<sup>b</sup>, or C<sub>1-6</sub> alkylene S(O)<sub>2</sub>N(R<sup>a</sup>)C(O)R<sup>b</sup>,~~

~~(9) C<sub>1-6</sub> alkyl substituted with O-C<sub>1-6</sub> alkyl, O-C<sub>1-6</sub> haloalkyl, CN, N(R<sup>a</sup>)R<sup>b</sup>, C(=O)N(R<sup>a</sup>)R<sup>b</sup>, C(=O)R<sup>a</sup>, CO<sub>2</sub>R<sup>a</sup>, S(O)<sub>n</sub>R<sup>a</sup>, SO<sub>2</sub>N(R<sup>a</sup>)R<sup>b</sup>, N(R<sup>a</sup>)C(=O)R<sup>b</sup>, N(R<sup>a</sup>)CO<sub>2</sub>R<sup>b</sup>, or N(R<sup>a</sup>)SO<sub>2</sub>R<sup>b</sup>, or~~

~~(10) C<sub>1-6</sub> haloalkyl;~~

each aryl is independently phenyl, naphthyl, or indenyl; ~~(i) phenyl, (ii) a 9- or 10-membered bicyclic, fused carbocyclic ring system in which at least one ring is aromatic, or (iii) an 11- to 14-membered tricyclic, fused carbocyclic ring system in which at least one ring is aromatic;~~

each R<sup>a</sup> is independently H or C<sub>1-6</sub> alkyl;

each R<sup>b</sup> is independently H or C<sub>1-6</sub> alkyl; and

~~R<sup>e</sup> is C<sub>1-6</sub> haloalkyl or C<sub>1-6</sub> alkyl substituted with C(=O)N(R<sup>a</sup>)R<sup>b</sup>, C(=O)R<sup>a</sup>, CO<sub>2</sub>R<sup>a</sup>, S(O)<sub>n</sub>R<sup>a</sup>, SO<sub>2</sub>N(R<sup>a</sup>)R<sup>b</sup>, N(R<sup>a</sup>)R<sup>b</sup>, N(R<sup>a</sup>)C(=O)R<sup>b</sup>, N(R<sup>a</sup>)CO<sub>2</sub>R<sup>b</sup>, or N(R<sup>a</sup>)SO<sub>2</sub>R<sup>b</sup>;~~

~~each R<sup>d</sup> and R<sup>e</sup> are independently H or C<sub>1-6</sub> alkyl, or together with the N atom to which they are attached form a 4 to 7 membered saturated or mono-unsaturated heterocyclic ring optionally containing a heteroatom in addition to the nitrogen attached to R<sup>d</sup> and R<sup>e</sup> selected from N, O, and S, wherein the S is optionally oxidized to S(O) or S(O)<sub>2</sub>, and wherein the saturated or mono-unsaturated heterocyclic ring is optionally substituted with from 1 to 4 substituents each of which is independently halogen, CN, C<sub>1-6</sub> alkyl, OH, exo, O-C<sub>1-6</sub> alkyl, C<sub>1-6</sub> haloalkyl, C(=O)R<sup>a</sup>, CO<sub>2</sub>R<sup>a</sup>, S(O)<sub>n</sub>R<sup>a</sup>, SO<sub>2</sub>N(R<sup>a</sup>)R<sup>b</sup>, N(R<sup>a</sup>)C(=O)R<sup>b</sup>, N(R<sup>a</sup>)CO<sub>2</sub>R<sup>b</sup>, or N(R<sup>a</sup>)SO<sub>2</sub>R<sup>b</sup>; and~~

each n is independently an integer equal to zero, 1, or 2.

21. (new) A compound according to claim 20, or a pharmaceutically acceptable salt thereof, wherein the compound is selected from the group consisting of:

methyl 6-(4-fluorobenzyl)-4-hydroxy-3, 5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxylate;

6-(4-fluorobenzyl)-4-hydroxy-*N,N*-dimethyl-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

*N*-cyclobutyl-6-(4-fluorobenzyl)-4-hydroxy-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

*N*-cyclopropyl-6-(4-fluorobenzyl)-4-hydroxy-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

6-(4-fluorobenzyl)-4-hydroxy-*N*-isopropyl-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

6-(4-fluorobenzyl)-4-hydroxy-*N*-methyl-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

6-(4-fluorobenzyl)-4-hydroxy-3, 5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxylic acid;

N-[6-(4-fluorobenzyl)-3,4-dihydroxy-5-oxo-5,6,7,8-tetrahydro-2,6-naphthyridin-1-yl]-N-methylmethanesulfonamide;

N-[6-(4-fluorobenzyl)-4-hydroxy-2-methyl-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridin-1-yl]-N-methylacetamide;

6-(4-fluorobenzyl)-4-hydroxy-*N, N*, 2-trimethyl-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

6-(3-chloro-4-fluorobenzyl)-4-hydroxy-*N, N*, 2-trimethyl-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide; and

6-(4-fluorobenzyl)-4-hydroxy-*N, N*, 2-trimethyl-3,5-dioxo-2,3,5,6-tetrahydro-2,6-naphthyridine-1-carboxamide.